

09/844,646

\* \* \* \* \* STN Columbus \* \* \* \* \*

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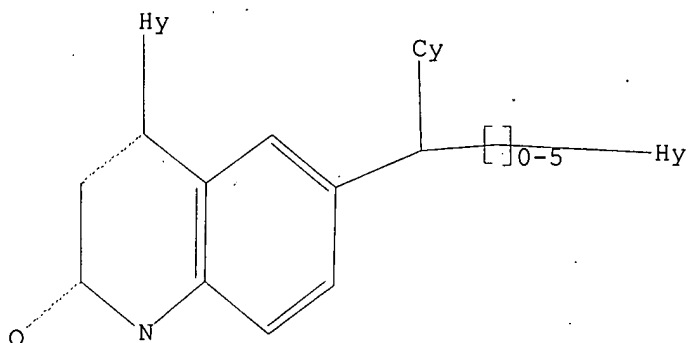
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Structure attributes must be viewed using STN Express query preparation.

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L4 ANSWER 1 OF 4 CA COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 137:63253 CA

TITLE: Preparation of farnesyl transferase inhibiting  
4-heterocyclylquinolines and 4-  
heterocyclylquinazolines

INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Poncelet,  
Virginie Sophie

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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09/844,646

WO 2002051834

A1

20020704

WO 2001-EP15232 20011221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

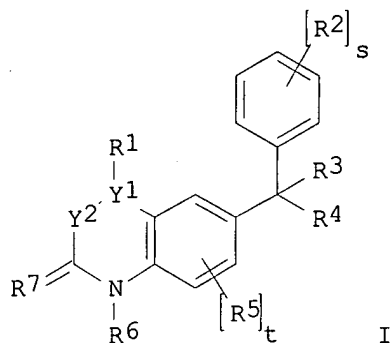
PRIORITY APPLN. INFO.:

EP 2000-204716 A 20001227

OTHER SOURCE(S):

MARPAT 137:63253

GI



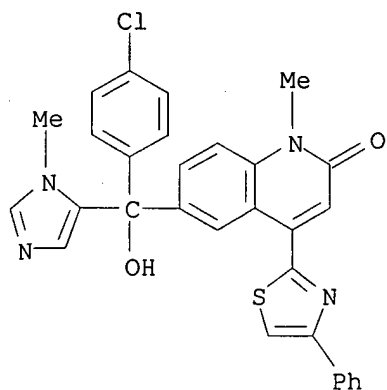
AB The title compds. [I; s = 0-5; t = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, CHCHR9 (wherein R9 = H, halo, CN, etc.); R1 = ZHet (Z = a bond, O, S, etc.; Het = (un)substituted monocyclic or bicyclic heterocyclic ring contg. one or more heteroatoms selected from O, S and N); R2 = N3, OH, halo, etc.; R3 = H, halo, CN, etc.; R4 = (un)substituted imidazolyl, triazolyl, pyridyl; R5 = CN, OH, halo, etc.; R6 = H, alkyl, cyanoalkyl, etc.; R7 = O, S; or R6 and R7 together from N:NN, CONHN, etc.] having farnesyl transferase inhibiting activity and useful in inhibiting tumor growth (no biol. data), were prepd. and formulated. E.g., a multi-step synthesis of quinolinone I [s = 1; t = 0; Y1Y2 = C:CH; R1 = 1H-imidazol-1-yl; R2 = 4-Cl; R3 = H; R4 = 1H-imidazol-1-yl; R6 = H; R7 = O] was given.

IT 439868-20-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines)

RN 439868-20-9 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



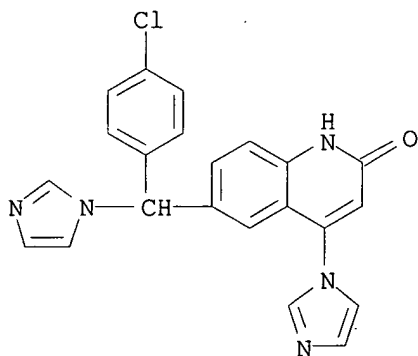
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 439868-27-6P 439868-28-7P 439868-34-5P  
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 439868-38-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and  
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RN 439868-17-4 CA

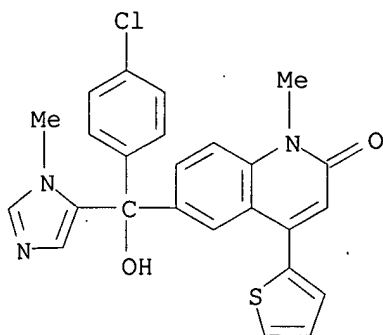
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-4-(1H-  
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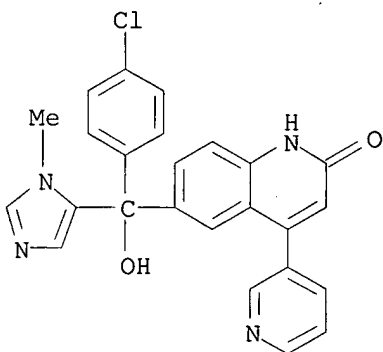
RN 439868-18-5 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-  
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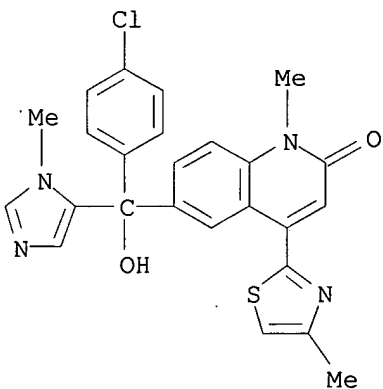
09/844,646



RN 439868-19-6 CA  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 439868-21-0 CA  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

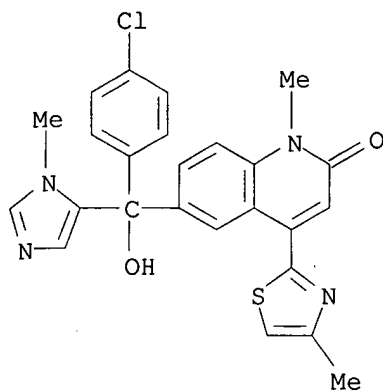


RN 439868-22-1 CA  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-methyl-2-thiazolyl)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

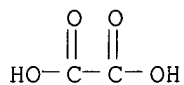
09/844,646

CRN 439868-21-0  
CMF C25 H21 Cl N4 O2 S

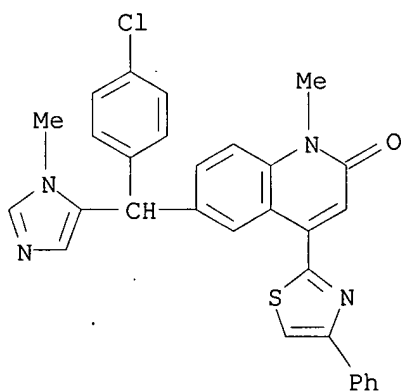


CM 2

CRN 144-62-7  
CMF C2 H2 O4

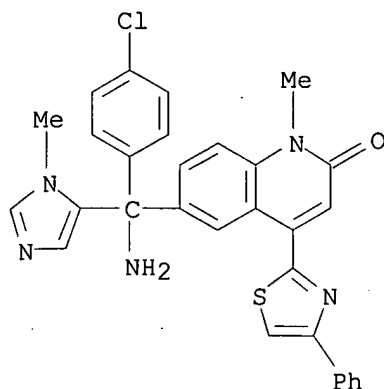


RN 439868-23-2 CA  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



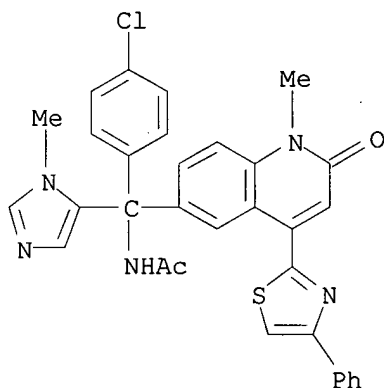
RN 439868-24-3 CA  
CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

09/844,646



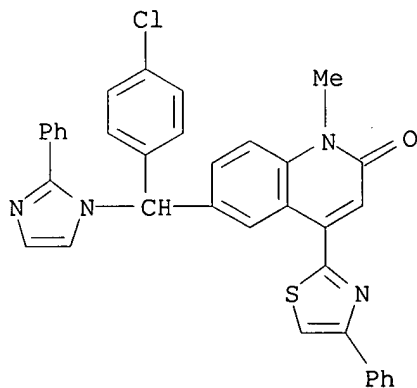
RN 439868-25-4 CA

CN Acetamide, N-[(4-chlorophenyl)(1,2-dihydro-1-methyl-2-oxo-4-(4-phenyl-2-thiazolyl)-6-quinolinyl)(1-methyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)



RN 439868-26-5 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

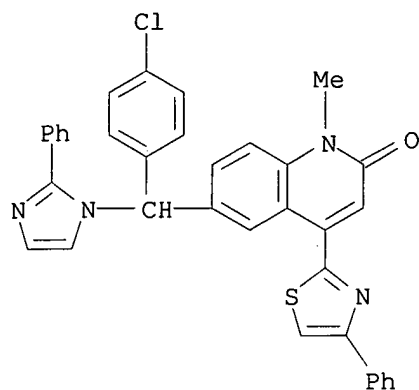


RN 439868-27-6 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-

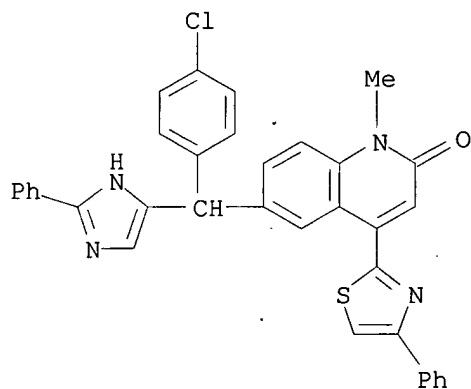
09/844,646

methyl-4-(4-phenyl-2-thiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 439868-28-7 CA

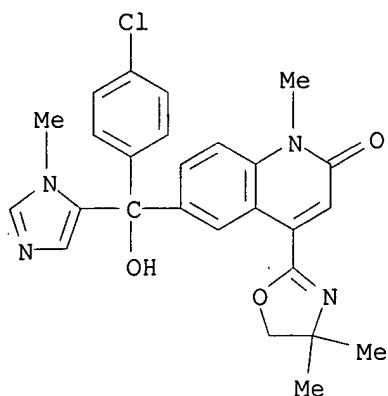
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-4-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 439868-34-5 CA

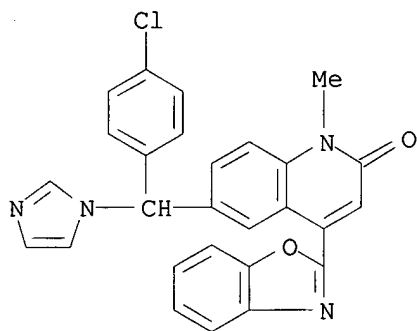
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-1-methyl- (9CI) (CA INDEX NAME)

09/844,646



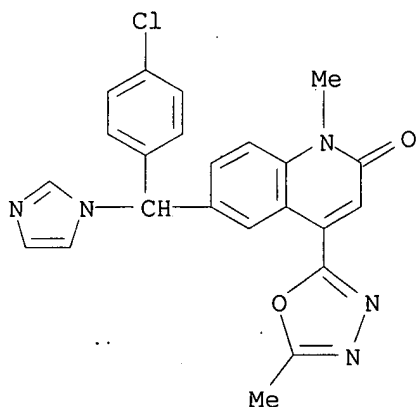
RN 439868-35-6 CA

CN 2(1H)-Quinolinone, 4-(2-benzoxazolyl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 439868-36-7 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

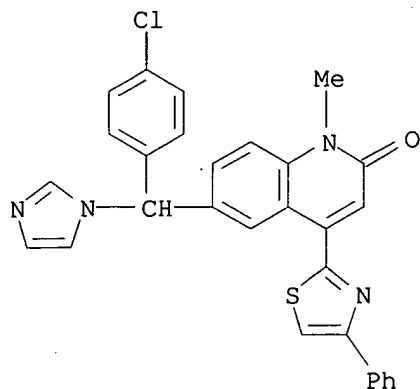


RN 439868-37-8 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

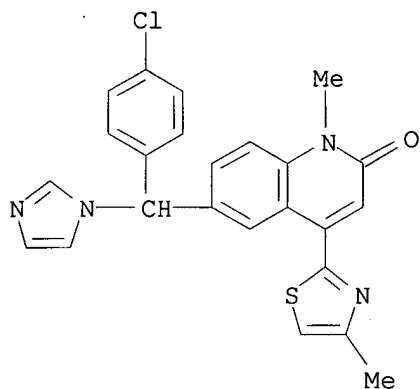


09/844,646



RN 439868-38-9 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



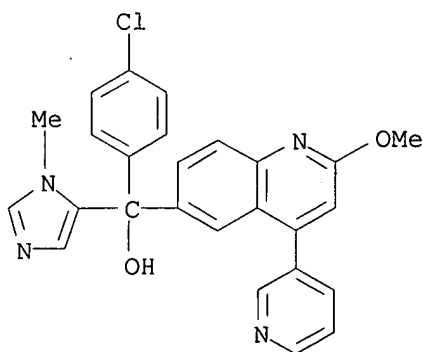
IT 439868-55-0P 439868-75-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines)

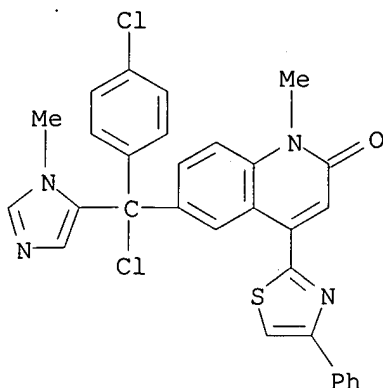
RN 439868-55-0 CA

CN 6-Quinolinemethanol, .alpha.-(4-chlorophenyl)-2-methoxy-.alpha.-(1-methyl-1H-imidazol-5-yl)-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)



09/844,646

RN 439868-75-4 CA  
CN 2(1H)-Quinolinone, 6-[chloro(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CA COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 130:52420 CA

TITLE: (Imidazol-5-yl)methyl-2-quinolinone derivatives as inhibitors of smooth muscle cell proliferation

INVENTOR(S): End, David William; Zelesko, Michael J.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855124	A1	19981210	WO 1998-EP3182	19980525
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9880207	A1	19981221	AU 1998-80207	19980525
AU 740603	B2	20011108		
EP 988038	A1	20000329	EP 1998-928332	19980525
EP 988038	B1	20020814		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
BR 9810423	A	20001003	BR 1998-10423	19980525
JP 2002503235	T2	20020129	JP 1999-501440	19980525
NZ 501401	A	20020328	NZ 1998-501401	19980525
AT 222104	E	20020815	AT 1998-928332	19980525
ES 2182327	T3	20030301	ES 1998-928332	19980525
ZA 9804700	A	19991201	ZA 1998-4700	19980601
US 6365600	B1	20020402	US 1999-445009	19991130
NO 9905883	A	20000202	NO 1999-5883	19991201

09/844,646

US 2002091138  
PRIORITY APPLN. INFO.:

A1 20020711

US 2001-996147 20011128

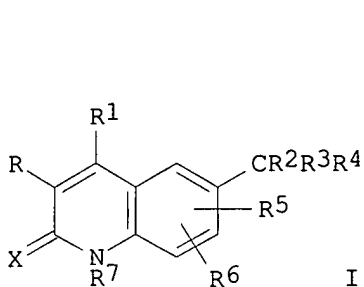
US 1997-47376P P 19970602

WO 1998-EP3182 W 19980525

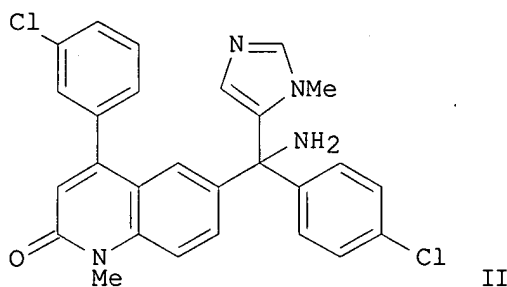
US 1999-445009 A3 19991130

OTHER SOURCE(S):  
GI

MARPAT 130:52420



I



II

AB Title compds. I and their 3,4-dihydro derivs. [X = O, S; R = H, halogen, CN, alkyl, alkoxy, carbonyl, (un)substituted Ph; R1, R2 = (un)substituted Ph; R3 = (un)substituted 4-imidazolyl; R4 = H, (un)substituted alkyl, CN, (un)substituted CO2H, imidazolyl, (un)substituted OH, SH, NH2; R5 = H, alkyl, alkoxy, halogen; R6 = H, alkyl; R7 = H, alkyl, aryl, aralkyl, quinolinylalkyl] were prepd. for use in inhibiting smooth muscle cell proliferation, e.g., in atherosclerosis or restenosis. Thus, the title compd. II was prepd. from 1-(N,N-dimethylsulfamoyl)imidazole and the chlorobenzoylquinolinone in 5 steps. II had IC50 for inhibition of cell proliferation: A10 14, PASC 24, CASC 16 nM.

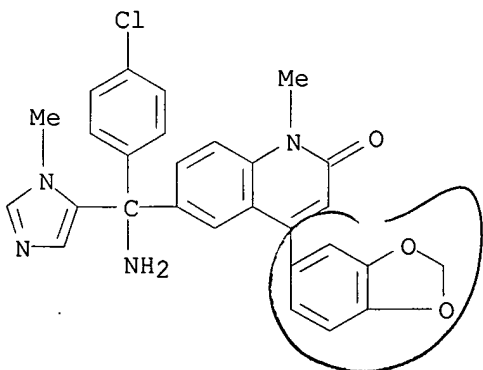
IT 192187-43-2P 192187-44-3P 192187-45-4P  
192187-46-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (imidazol-5-yl)methyl-2-quinolinone derivs. as inhibitors of smooth muscle cell proliferation)

RN 192187-43-2 CA

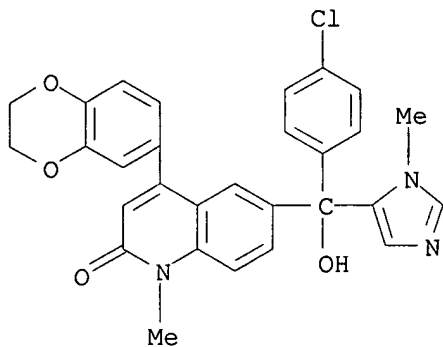
CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(1,3-benzodioxol-5-yl)-1-methyl- (9CI) (CA INDEX NAME)



RN 192187-44-3 CA

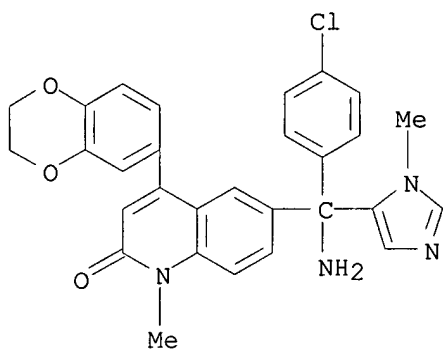
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)

102  
1,3,5,9,10,11



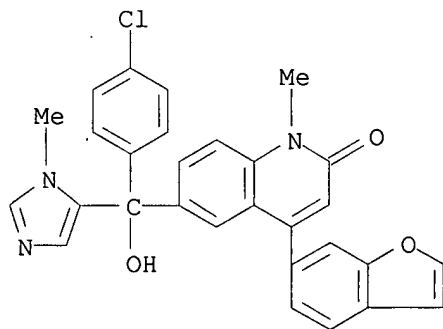
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CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)



RN 192187-46-5 CA

CN 2(1H)-Quinolinone, 4-(6-benzofuranyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CA COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 127:95280 CA

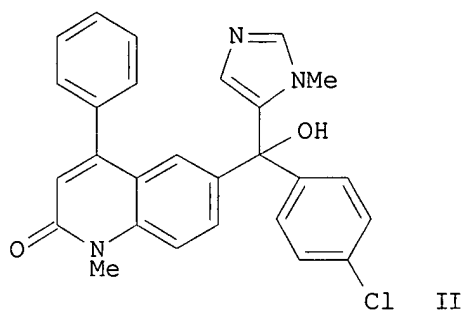
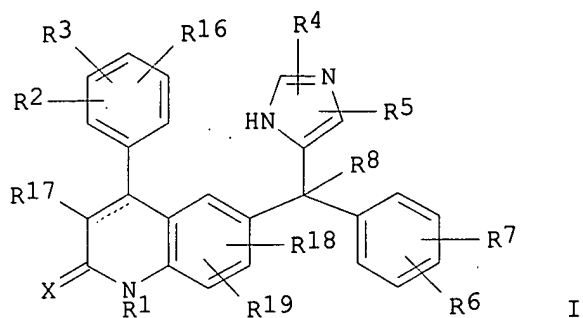
TITLE: Preparation of farnesyl protein transferase-inhibiting (imidazol-5-yl)methyl-2-quinolinone anticancer agents

INVENTOR(S): Venet, Marc Gaston; Angibaud, Patrick Rene; Muller, Philippe; Sanz, Gerard Charles

09/844,646

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.  
SOURCE: PCT Int. Appl., 56 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721701	A1	19970619	WO 1996-EP4515	19961016
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, NO, NZ, PL, RO, SK, US, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
AU 9672948	A1	19970703	AU 1996-72948	19961016
AU 711142	B2	19991007		
EP 865440	A1	19980923	EP 1996-934727	19961016
EP 865440	B1	20020403		
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JP 10511405	T2	19981104	JP 1996-521638	19961016
CN 1203598	A	19981230	CN 1996-198750	19961016
CN 1101392	B	20030212		
BR 9610745	A	19990713	BR 1996-10745	19961016
IL 123568	A1	20010808	IL 1996-123568	19961016
EE 3484	B1	20010815	EE 1998-146	19961016
EP 1162201	A2	20011212	EP 2001-202750	19961016
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JP 3257559	B2	20020218	JP 1997-521638	19961016
AT 215541	E	20020415	AT 1996-934727	19961016
PL 184171	B1	20020930	PL 1996-325962	19961016
AP 1108	A	20021002	AP 1998-1257	19961016
W: GM, GH, KE, LS, MW, SD, SZ, UG, ZW				
ES 2175137	T3	20021116	ES 1996-934727	19961016
TW 494101	B	20020711	TW 1996-85114832	19961130
ZA 9610254	A	19980605	ZA 1996-10254	19961205
HR 960576	B1	20020430	HR 1996-960576	19961205
NO 9800927	A	19980608	NO 1998-927	19980304
US 6037350	A	20000314	US 1998-84717	19980526
HK 1012188	A1	20020726	HK 1998-113364	19981215
US 6169096	B1	20010102	US 1999-363353	19990729
US 6420387	B1	20020716	US 2000-689211	20001012
PRIORITY APPLN. INFO.:			EP 1995-203427	A 19951208
			EP 1996-934727	A3 19961016
			WO 1996-EP4515	W 19961016
			US 1997-84717	A1 19970526
			US 1999-363353	A1 19990729
OTHER SOURCE(S):		MARPAT 127:95280		
GI				



AB The title compds. [I; the dotted line represents an optional bond; X = O, S; R1 = H, (un)substituted alkyl, (un)substituted aryl, heterocyclalkyl, etc.; R2, R3, R16 = H, hydroxy, halogen, cyano, alkyl, alkyloxy, hydroxyalkyloxy, etc.; R4, R5 = H, halogen, (un)substituted aryl, (un)substituted alkyl, NH<sub>2</sub>, etc.; R6, R7 = H, halogen, cyano, alkyl, 4,4-dimethyloxazolyl, etc.; R8 = H, alkyl, cyano, hydroxycarbonyl, alkyloxycarbonyl, etc.; R17 = H, halogen, cyano, alkyl, alkyloxycarbonyl, (un)substituted aryl; R18 = H, alkyl, alkyloxy, halogen; R19 = H, alkyl; etc.], which have farnesyl transferase-inhibiting activity, useful for the treatment of cancers, are prepd. and I-contg. formulations presented. Thus, imidazole deriv. II (m.p. >250.degree.) was prepd. and demonstrated a IC<sub>50</sub> against human farnesyl protein transferase of 6.0 nM.

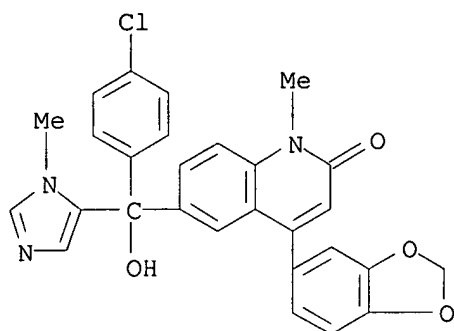
IT **192187-42-1P 192187-43-2P 192187-44-3P**  
**192187-45-4P 192187-46-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of farnesyl protein transferase-inhibiting (imidazol-5-yl)methyl-2-quinolinone anticancer agents)

RN 192187-42-1 CA

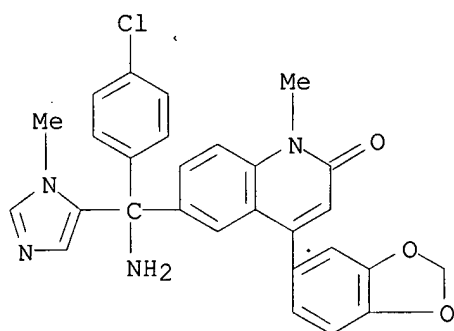
CN 2(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl- (9CI) (CA INDEX NAME)

09/844,646



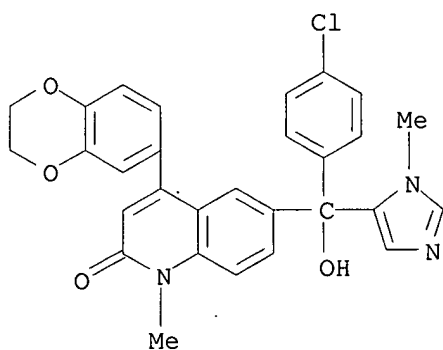
RN 192187-43-2 CA

CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(1,3-benzodioxol-5-yl)-1-methyl- (9CI) (CA INDEX NAME)



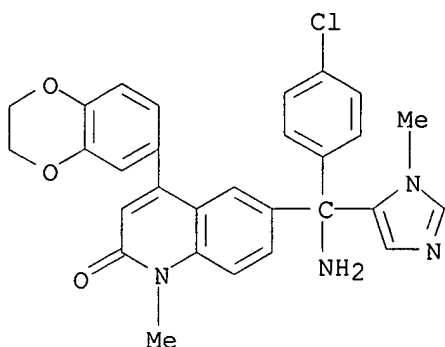
RN 192187-44-3 CA

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)

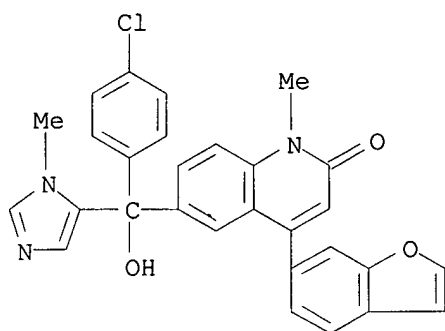


RN 192187-45-4 CA

CN 2(1H)-Quinolinone, 6-[amino(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl- (9CI) (CA INDEX NAME)



RN 192187-46-5 CA  
 CN 2(1H)-Quinolinone, 4-(6-benzofuranyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 4 CA COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 127:34143 CA  
 TITLE: Farnesyl transferase inhibiting 2-quinolone derivatives  
 INVENTOR(S): End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716443	A1	19970509	WO 1996-EP4661	19961025
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

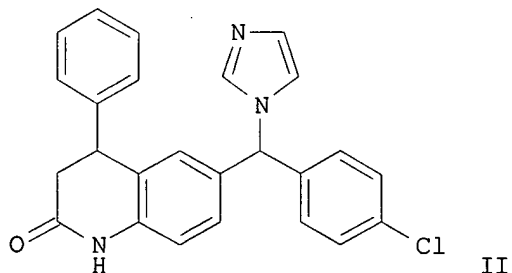
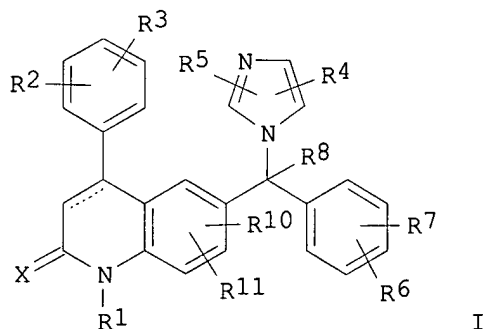


AU 9674933	A1	19970522	AU 1996-74933	19961025
AU 712435	B2	19991104		
CN 1200732	A	19981202	CN 1996-197917	19961025
CN 1101391	B	20030212		
JP 11514635	T2	19991214	JP 1996-517051	19961025
EP 1019395	A1	20000719	EP 1996-937249	19961025
EP 1019395	B1	20020130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
EP 1106610	A1	20010613	EP 2001-200450	19961025
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AT 212627	E	20020215	AT 1996-937249	19961025
ES 2171736	T3	20020916	ES 1996-937249	19961025
PL 184168	B1	20020930	PL 1996-328230	19961025
SK 282642	B6	20021008	SK 1998-556	19961025
CZ 290954	B6	20021113	CZ 1998-1272	19961025
ZA 9609087	A	19980429	ZA 1996-9087	19961029
NO 9800928	A	19980429	NO 1998-928	19980304
US 5968952	A	19991019	US 1998-66441	19980429
HK 1027576	A1	20020524	HK 2000-106863	20001027

PRIORITY APPLN. INFO.:

EP 1995-202945	A	19951031
EP 1996-937249	A3	19961025
WO 1996-EP4661	W	19961025

OTHER SOURCE(S): MARPAT 127:34143  
GI



AB The invention concerns compds. I and their stereoisomers and pharmaceutically acceptable acid or base addn. salts [wherein dotted line = optional pi bond; X = O, S; R1-R11 = H, variety of substituents; adjacent R2R3 may form a bivalent radical]. I are inhibitors of farnesyl

09/844,646

protein transferase (FPT), and are thus useful as inhibitors of tumors, other malignant and benign proliferative diseases, and angiogenesis. For instance, 3,4-dihydro-4-phenyl-2(1H)-quinolinone was acylated by 4-ClC6H4CO2H and polyphosphoric acid. The resulting ketone was reduced to an alc. with NaBH4, and the alc. was treated with NaH and 1,1'-carbonylbis-1H-imidazole to give title compd. II. Selected I had IC50 values of 0.0034-3.2 .mu.M for inhibition of FPT in vitro. In a ras-transformed cell phenotype reversion assay, selected I had IC50 values as low as 53 nM.

IT 190898-46-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of quinolone derivs. as farnesyl transferase inhibitors)

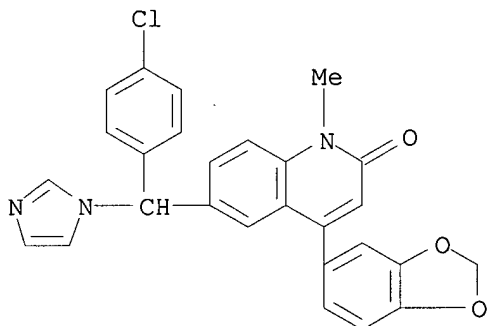
RN 190898-46-5 CA

CN 2(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 190898-45-4

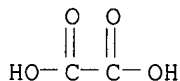
CMF C27 H20 Cl N3 O3



CM 2

CRN 144-62-7

CMF C2 H2 O4



=> file marpat

=> s 11 full

L5 33 SEA SSS FUL L1

=> d ibib abs fqhit 1-33

L5 ANSWER 1 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 139:117438 . MARPAT

TITLE: Preparation of N-(benzo[5,6]cyclohepta[1,2-b]pyridin-

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

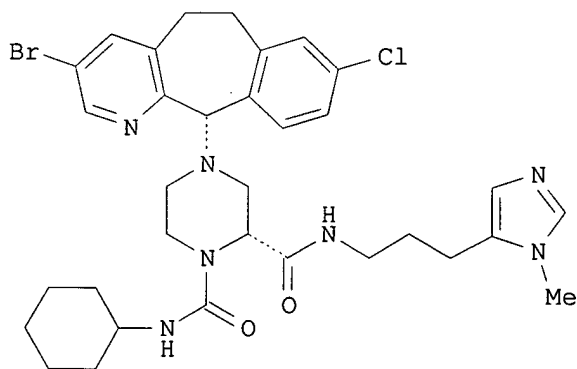
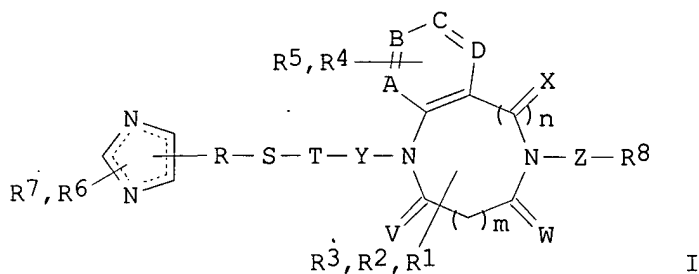
11-yl)piperazine and -piperidine derivatives and related compounds and treatment of Trypanosoma brucei with farnesyl protein transferase (FPTase) inhibitors Windsor, William T.; Weber, Patricia C.; Strickland, Corey; Syto, Rosalinda; Girjavallabhan, Viyyoor M.; Kaminski, James J.; Guo, Zhuyan  
Schering Corporation, USA  
U.S. Pat. Appl. Publ., 48 pp.  
CODEN: USXXCO

Patent

English

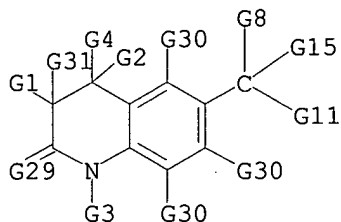
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003134846	A1	20030717	US 2002-266036	20021007
PRIORITY APPLN. INFO.:			US 2001-327934P	20011009

GI

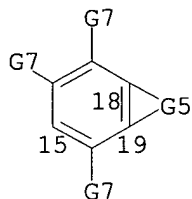


AB Disclosed is a method of treating and or preventing infections of Trypanosoma brucei, a parasite from tsetse fly causing sleeping sickness, by administering to a patient, in need of such treatment, an effective amt. of a farnesyl protein transferase inhibitor alone or in combination with an addnl. anti- Trypanosoma brucei agent and/or an anti-Trypanosoma brucei resistance reversing agent. The farnesyl protein transferase inhibitors are represented by general formulas, e.g. (I) [wherein R1-R3 = H, alkoxycarbonyl, each (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocyclyl, or CONH2, cycloalkyl, cyano; or any of two R1-R3 form a cycloalkyl group; R4, R5 = H, halo, NO2, cyano, etc.; R6-R8 = H, lower alkyl, substituted alkyl, (un)substituted aryl; R, S,T = CH2, CO,

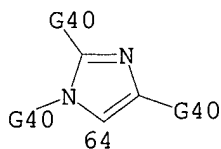
CH(CH<sub>2</sub>)<sub>p</sub>Q; wherein Q = (un)substituted NH<sub>2</sub> or OH, cyano; p = 0,1,2; V, W, X = O, H; Y, Z = each mono-(un)substituted CH<sub>2</sub>, NH, SO<sub>2</sub>NH, CONH<sub>2</sub>; m,n = 0,1; A, B,C, D = C, O, S, N; provisos are given]. 21 Specific farnesyl protein transferase inhibitors, e.g. N-(6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine deriv. (II), are claimed. The compds. of the invention had an IC<sub>50</sub> range of between 0.0019 .mu.M to 15 .mu.M in Trypanosoma brucei FPTase SPA assay, and an ED<sub>50</sub> range of between 0.2 .mu.M to <10 .mu.M in T. brucei cell-based assay.

**MSTR 2**

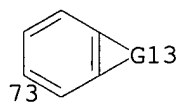
G4 = 15



G5 = OCH<sub>2</sub>O  
G8 = 64



G11 = 73



G13 = OCH<sub>2</sub>O  
G29 = O  
MPL: claim 3  
NTE: or pharmaceutically acceptable salts or solvates  
NTE: substitution is restricted

L5 ANSWER 2 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 138:56082 MARPAT

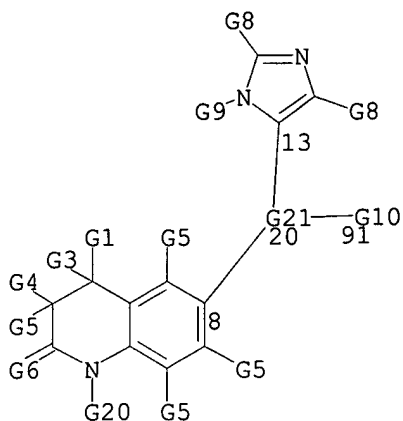
TITLE: Preparation of phosphorus-substituted quinolines as  
 therapeutic agents  
 INVENTOR(S): Wang, Yihan; Metcalf, Chester A., III; Shakespeare,  
 William C.; Sawyer, Tomi K.; Bohacek, Regine  
 PATENT ASSIGNEE(S): Ariad Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 222 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000705	A1	20030103	WO 2002-US19672	20020621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003105065	A1	20030605	US 2002-177990	20020621
PRIORITY APPLN. INFO.:			US 2001-299918P	20010621
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Phosphorus-substituted quinolines [e.g, I; wherein X = O, S, amino; R1 =  
 H, O, aliph., heteroaliph., aryl, heteroaryl; R2 = aliph., heteroaliph.,  
 aryl, heteroaryl; R3, R4, R6, R7, independently = H, aliph., heteroaliph.,  
 aryl, heteroaryl, halo, cyano, alkylcarbonyl, etc.; R5 = aryl, heteroaryl;  
 R8 = H, aliph., heteroaliph.; AK = (CR9CR10) (wherein R9, R10,  
 independently = H, aliph.); p = 0, 1, 2, 3; q = 0, 1, 2, 3, 4, 5; r = 0,  
 1, 2; at least one of R2 or R5 is a phosphorus-contg. moiety] were prepd.  
 Compd. (II) is exemplary. The prepd. compds. are useful as, inter alia,  
 anticancer agents, antiproliferative agents, and agents for the treatment  
 of osteoporosis (no data).

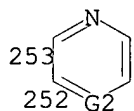
MSTR 1



G2 = N  
 G6 = O  
 G17 = phenylene  
 G21 = 416

C—G7  
 416

G41 = 253-247 252-246



MPL: claim 1  
 NTE: additional substitution also claimed  
 NTE: substitution is restricted  
 NTE: and pharmaceutically acceptable salts

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 137:320343 MARPAT  
 TITLE: Farnesyl protein transferase inhibitors for treating cachexia  
 INVENTOR(S): End, David William  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085364	A1	20021031	WO 2002-EP4292	20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

09/844,646

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
TJ, TM

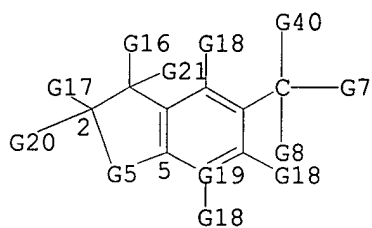
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

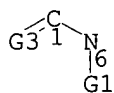
US 2001-286390P 20010425

AB The invention discloses the use of farnesyl protein transferase inhibitors  
for the manuf. of a medicament for the treatment of cachexia.

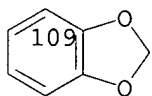
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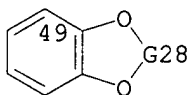
G3 = O  
G5 = 1-2 6-5



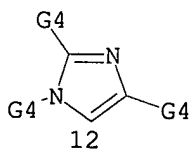
G7 = 109



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



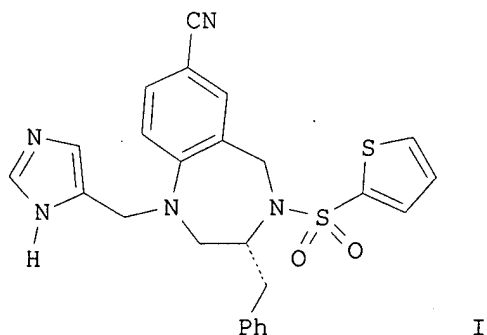
MPL: claim 1  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 NTE: substitution is restricted  
 STE: and stereochemically isomeric forms

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 137:304744 MARPAT  
 TITLE: Treatment of malaria with farnesyl protein transferase inhibitors  
 INVENTOR(S): Windsor, William T.; Weber, Patricia C.; Strickland, Corey O.; Girijavallabhan, Viyyoor M.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: PCT Int. Appl., 162 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002080895	A2	20021017	WO 2002-US10698	20020404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-282092P	20010406
			US 2001-283107P	20010411

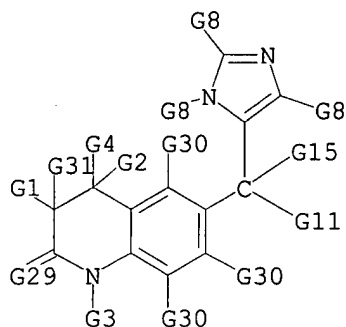
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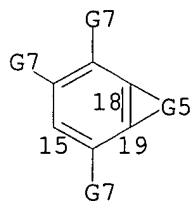
AB Disclosed is a method of treating Malaria comprising administering to a patient in need of such treatment an effective amt. of at least one farnesyl protein transferase (FPT) inhibitor alone or in combination with an addnl. antimalarial agent and/or agent for reversing antimalarial resistance. Also disclosed are pharmaceutical compns. comprising at least



one FPT inhibitor, in combination with at least one addnl. antimalaria agent and/or at least one addnl. agent for reversing antimalarial resistance, and a pharmaceutically acceptable carrier. Synthetic methods to prep. 15 of 26 claimed FPT inhibitors are provided. The claimed FPT inhibitors possessed ED50 values ( $\mu\text{M}$ ) of 0.05-5 in in vitro plasmodium falciparum growth inhibition assays. Specifically, I demonstrated an ED50 range of 0.05-0.2 in the assay.

**MSTR 2**

G4 = 15



G5 = OCH<sub>2</sub>O

G11 = Ph (SO (1-2) G12)

G29 = O

MPL: claim 2

NTE: and pharmaceutically acceptable salts and solvates

NTE: substitution is restricted

L5 ANSWER 5 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 137:299915 MARPAT

TITLE: Farnesyl transferase inhibitors in combination with HMG CoA reductase inhibitors for the inhibition for the treatment of cancer

INVENTOR(S): Kajiji, Shama M.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002078706 A1 20021010 WO 2002-US9751 20020329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
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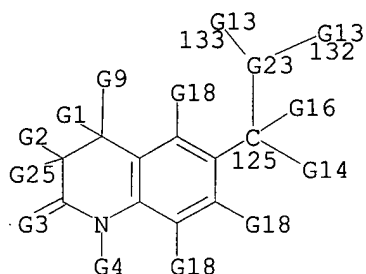
US 2002151563 A1 20021017 US 2002-103251 20020321

PRIORITY APPLN. INFO.:

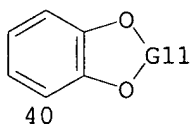
US 2001-279965P 20010329

AB This invention relates to pharmaceutical compns. for the treatment of  
 abnormal cell growth, such as cancer or benign hyperproliferative  
 disorder, in a mammal, which comprises a therapeutically effective amt. of  
 farnesyl transferase (Ftase) inhibitor in combination with an  
 hydroxymethylglutaryl CoA (HMG CoA) reductase inhibitor and a  
 pharmaceutically acceptable carrier.

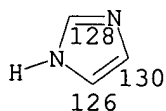
## MSTR 2



G3 = O  
 G9 = 40



G11 = (1-2) CH2  
 G14 = Ph (SO (-2) G15)  
 G23 = 126-125 128-133 130-132



MPL: claim 1  
 NTE: and pharmaceutically acceptable salts, prodrugs and solvates

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 137:163794 MARPAT

TITLE: Farnesyl protein transferase inhibitor combinations with antiestrogen agents

INVENTOR(S): End, David William

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

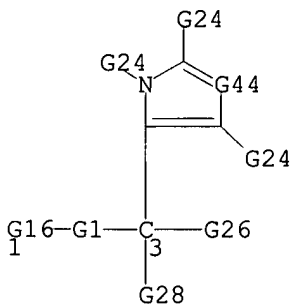
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

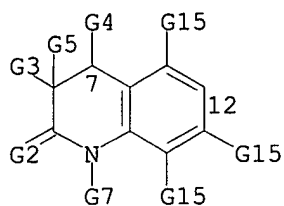
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064142	A1	20020822	WO 2002-EP1248	20020206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-268839P 20010215

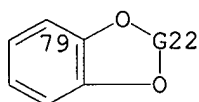
AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an antiestrogen agent for inhibiting the growth of tumor cells, useful in the treatment of cancer. An antiestrogen agent is, e.g., tamoxifen, raloxifene, toremifene, or an aromatase inhibitor. For example, the combination of 100 mg/kg of farnesyl protein transferase inhibitor R115777 and 1 mg/kg of tamoxifen unexpectedly increased cytotoxic tumor regression in mice bearing MCF-7 human breast tumor xenografts, in comparison to the cytotoxic effect of the individual components of the combination.

**MSTR 1**

G1 = 7-1 12-3



G2 = 0  
G16 = 79



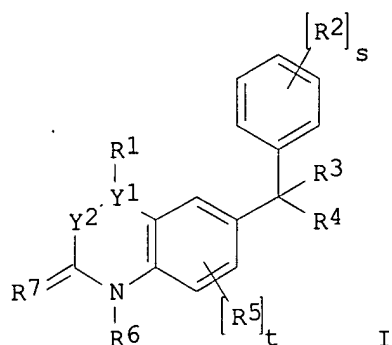
G22 = (1-2) CH2  
G26 = Ph (SO (1-2) G27)  
G44 = N  
MPL: claim 1  
NTE: substitution is restricted  
NTE: additional substitution also claimed  
STE: and stereochemically isomeric forms

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 137:63253 MARPAT  
TITLE: Preparation of farnesyl transferase inhibiting  
4-heterocyclylquinolines and 4-  
heterocyclylquinazolines  
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Poncelet,  
Virginie Sophie  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 63 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

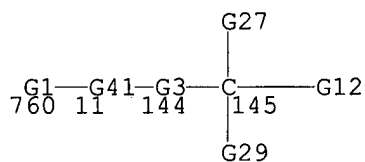
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051834	A1	20020704	WO 2001-EP15232	20011221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2000-204716 20001227  
GI

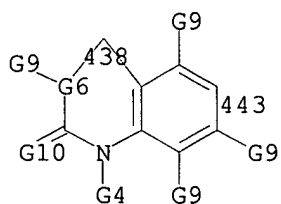


AB The title compds. [I;  $s = 0-5$ ;  $t = 0-3$ ;  $Y1Y2 = C:N, C:CR9, CHNR9, CHCHR9$  (wherein  $R9 = H, \text{halo}, CN, \text{etc.}$ );  $R1 = Z\text{Het}$  ( $Z = \text{a bond}, O, S, \text{etc.}$ ;  $\text{Het} = (\text{un})\text{substituted monocyclic or bicyclic heterocyclic ring contg. one or more heteroatoms selected from } O, S \text{ and } N$ );  $R2 = N3, OH, \text{halo}, \text{etc.}$ ;  $R3 = H, \text{halo}, CN, \text{etc.}$ ;  $R4 = (\text{un})\text{substituted imidazolyl, triazolyl, pyridyl}$ ;  $R5 = CN, OH, \text{halo}, \text{etc.}$ ;  $R6 = H, \text{alkyl, cyanoalkyl, etc.}$ ;  $R7 = O, S$ ; or  $R6$  and  $R7$  together from  $N:NN, CONHN, \text{etc.}$ ] having farnesyl transferase inhibiting activity and useful in inhibiting tumor growth (no biol. data), were prepd. and formulated. E.g., a multi-step synthesis of quinolinone I [ $s = 1$ ;  $t = 0$ ;  $Y1Y2 = C:CH$ ;  $R1 = 1H\text{-imidazol-1-yl}$ ;  $R2 = 4\text{-Cl}$ ;  $R3 = H$ ;  $R4 = 1H\text{-imidazol-1-yl}$ ;  $R6 = H$ ;  $R7 = O$ ] was given.

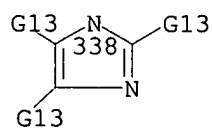
#### MSTR 1



G1 = thienyl (SO G56)  
G3 = 438-11 443-145



G6 = CH  
G10 = O  
G12 = 338



G27 = Ph (SO (1-) G28)  
 MPL: claim 1  
 NTE: or pharmaceutically acceptable salts or N-oxides  
 NTE: also incorporates claim 8  
 NTE: additional substitution also claimed  
 NTE: substitution is restricted  
 STE: or stereochemically isomeric forms

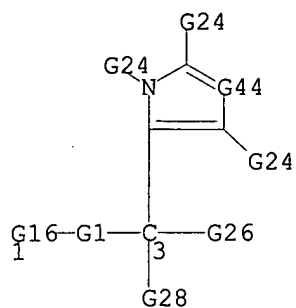
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 137:718 MARPAT  
 TITLE: Farnesyl protein transferase inhibitors for the  
 treatment of inflammatory bowel disease  
 INVENTOR(S): End, David William; Bowden, Charles Ronald  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

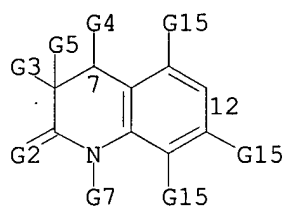
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002043733	A1	20020606	WO 2001-EP13540	20011120
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AU 2002018311	A5	20020611	AU 2002-18311	20011120
EP 1339407	A1	20030903	EP 2001-998349	20011120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-253346P	20001128
			WO 2001-EP13540	20011120

AB The invention discloses the use of certain farnesyl protein transferase inhibitors for the manuf. of a medicament for the treatment of inflammatory bowel disease. Compds. of the invention include e.g. (+)-6-[amino-(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)quinolinone.

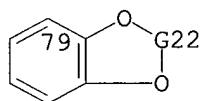
MSTR 1



G1 = 7-1 12-3



G2 = O  
G16 = 79



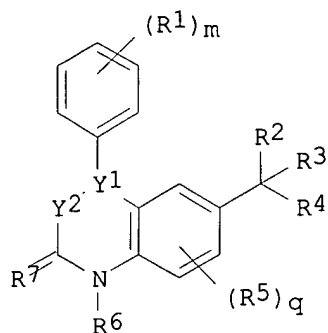
G22 = (1-2) CH2  
G26 = Ph (SO (1-2) G27)  
G44 = N  
MPL: claim 1  
NTE: and pharmaceutically acceptable acid or base addition salts  
NTE: substitution is restricted  
NTE: additional substitution also claimed  
STE: and stereochemically isomeric forms

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

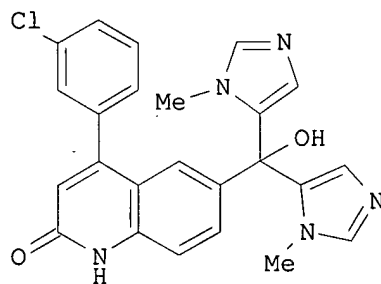
L5 ANSWER 9 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 136:279472 MARPAT  
TITLE: Preparation of 6-heterocyclylmethyl quinolinone derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases  
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Mevellec, Laurence Anne  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 54 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024687	A1	20020328	WO 2001-EP10975	20010918
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001093835	A5	20020402	AU 2001-93835	20010918
EP 1322644	A1	20030702	EP 2001-974284	20010918
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			EP 2001-202189	20010607
			WO 2001-EP10975	20010918

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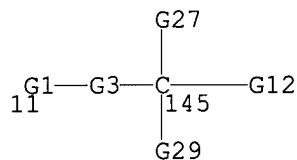


II

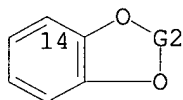
AB Title compds. I [wherein m = independently 0-5; q = 0-3; Y1Y2 = C:CR9 or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy(alkyl), aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocycloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), aryl, heterocycloxy, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N:; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, cyclization of N-[4-bromo-2-(3-chlorobenzoyl)phenyl]acetamide (3-step prepn. given) using t-BuOH.bul.K in



DME afforded 6-bromo-4-(3-chlorophenyl)-2(1H)-quinoline (80.76%), which was then methoxylated (86%). Addn. of bis(1-methyl-1H-imidazol-5-yl)methanone in the presence of BuLi in THF to give the .alpha.,.alpha.-bis(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (5%), followed by reflux in HCl and THF overnight, produced 18 II.bul.2HCl (quant.). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

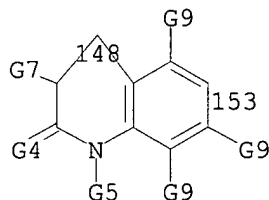
**MSTR 1**

G1 = 14



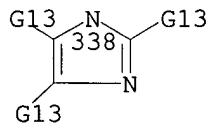
G2 = (1-2) CH2

G3 = 148-11 153-145



G4 = O

G12 = 338



G27 = furyl (SO (1-) G34)

MPL: claim 1

NTE: or pharmaceutically acceptable salts or N-oxides

NTE: also incorporates claim 8

NTE: substitution is restricted

STE: or stereochemically isomeric forms

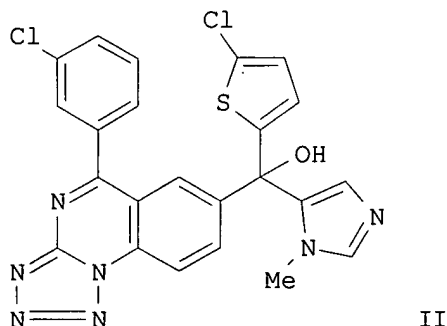
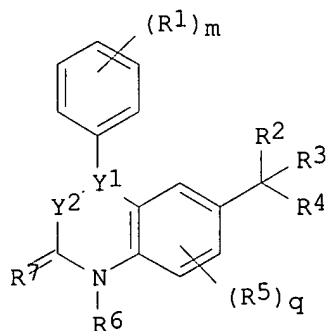
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 136:279471 MARPAT  
 TITLE: Preparation of 6-heterocyclylmethyl quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases  
 INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Mevellec, Laurence Anne  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

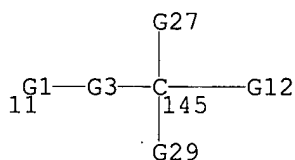
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024686	A2	20020328	WO 2001-EP10894	20010918
WO 2002024686	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002020559	A5	20020402	AU 2002-20559	20010918
EP 1322650	A2	20030702	EP 2001-985254	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			EP 2000-203368	20000925
			EP 2001-202190	20010607
			WO 2001-EP10894	20010918

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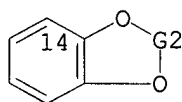


AB Title compds. I [wherein m = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy,

heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy, carbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy, carbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N:; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prep'd. For example, 2,2,2-trichloro-N-[2-(3-chlorobenzoyl)-4-[(5-chloro-2-thienyl)carbonyl]phenyl]acetamide (5-step prepn. given) was cyclized with ammonium acetate in DMSO to give 4-(3-chlorophenyl)-6-[(5-chloro-2-thienyl)carbonyl]-2(1H)-quinazolinone (83.8%). Chlorination (88.4%), followed by addn. of 1-methyl-1H-imidazole in the presence of BuLi and SiEt3Cl in THF, afforded the .alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinazolinemethanol. Cycloaddn. with NaN3 in DMF gave the tetrazolo[1,5-a]quinazoline-7-methanol II (66%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

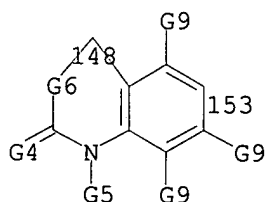
**MSTR 1**

G1 = 14



G2 = (1-2) CH2

G3 = 148-11 153-145

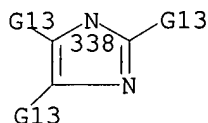


G4 = O

G6 = 172

HC—G7  
172

G12 = 338



G27 = thienyl (SO (1-) G34)

MPL: claim 1

NTE: or pharmaceutically acceptable salts or N-oxides

NTE: also incorporates claim 8

NTE: substitution is restricted

STE: or stereochemically isomeric forms

L5 ANSWER 11 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 136:279470 MARPAT

TITLE: Preparation of 6-[(substituted phenyl)methyl]quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases

INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Saha, Ashis Kumar; Mevellec, Laurence Anne

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

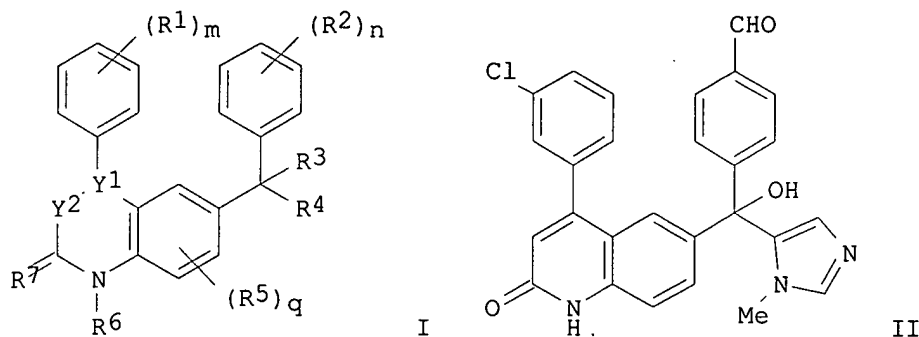
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

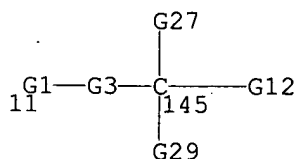
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WO 2002024683	A1	20020328	WO 2001-EP10895	20010918
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001093829	A5	20020402	AU 2001-93829	20010918
EP 1322636	A1	20030702	EP 2001-974276	20010918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			EP 2000-203366	20000925
			WO 2001-EP10895	20010918

GI

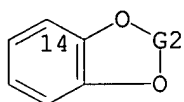


AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy carbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2 = independently azido, OH, halo, CN, NO<sub>2</sub>, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH<sub>2</sub>O, OCH<sub>2</sub>CH<sub>2</sub>O, OCH:CH, OCH<sub>2</sub>CH<sub>2</sub>, OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy carbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy carbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH<sub>2</sub>(CH<sub>2</sub>)<sub>0-1</sub>CH<sub>2</sub>N:; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prep'd. For example, 6-bromo-2-chloro-4-(3-chlorophenyl)quinoline (6-step prepn. given) was coupled with 4-(diethoxymethyl)benzaldehyde in the presence of BuLi in THF to give the 6-quinolinemethanol (64%), which was treated with MnO<sub>2</sub> in 1,4-dioxane to afford the methanone. Methoxylation using MeONa in MeOH (74%), followed by addn. of 1-methyl-1H-imidazole in the presence of BuLi and ClSiEt<sub>3</sub> in THF, gave 4-(3-chlorophenyl)-.alpha.-[4-(diethoxymethyl)phenyl]-2-methoxy-.alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (56%). The latter was refluxed in HCl for 24 h, cooled, poured out into H<sub>2</sub>O, and stirred at room temp. for 1 h to afford the quinolinone II.bul.HCl (98%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

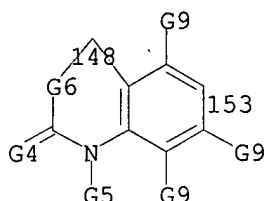
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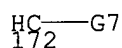
G1 = 14



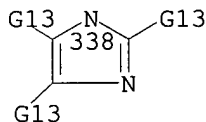
G2 = (1-2) CH2  
 G3 = 148-11 153-145



G4 = O  
 G6 = 172



G12 = 338



G27 = Ph (SO (1-) G28)  
 MPL: claim 1  
 NTE: or pharmaceutically acceptable salts or N-oxides  
 NTE: also incorporates claim 8  
 NTE: substitution is restricted  
 STE: or stereochemically isomeric forms

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 135:221275 MARPAT  
 TITLE: Farnesyl protein transferase inhibitor combinations with an HER2 antibody  
 INVENTOR(S): Horak, Ivan David; Bowden, Christopher J.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064246	A2	20010907	WO 2001-EP2163	20010226

WO 2001064246 A3 20020221

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 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
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 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1267929 A2 20030102

EP 2001-927707 20010226

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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JP 2003525252 T2 20030826

JP 2001-563143 20010226

US 2003022918 A1 20030130

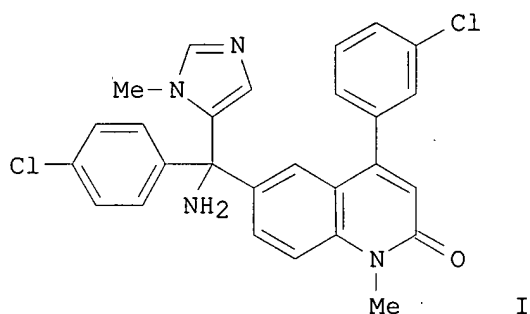
US 2002-220217 20020828

PRIORITY APPLN. INFO.:

EP 2000-200692 20000229

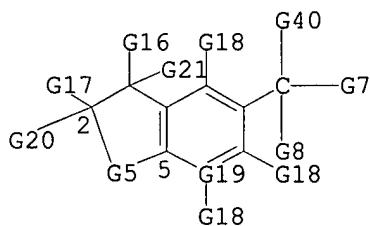
WO 2001-EP2163 20010226

GI



AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an HER2 antibody for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I.

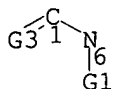
## MSTR 1



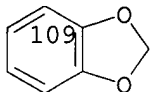
G3 = O

G5 = 1-2 6-5

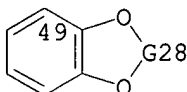
09/844,646



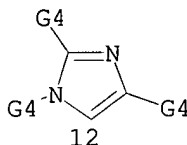
G7 = 109



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



MPL: claim 1  
NTE: and pharmaceutically acceptable acid or base addition salts  
NTE: substitution is restricted  
STE: and stereochemically isomeric forms

L5 ANSWER 13 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 135:221274 MARPAT  
TITLE: Farnesyl protein transferase inhibitor combinations as anticancer agents  
INVENTOR(S): Rybak, Mary Ellen Margaret  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 41 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

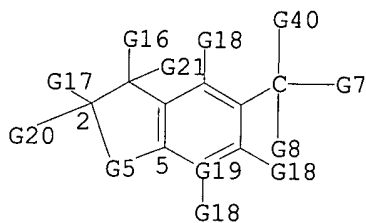
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064218	A2	20010907	WO 2001-EP2169	20010226
WO 2001064218	A3	20020321		

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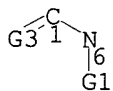


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 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1261342 A2 20021204 EP 2001-925358 20010226  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 JP 2003525245 T2 20030826 JP 2001-563115 20010226  
 US 2003125326 A1 20030703 US 2002-220218 20020828  
 PRIORITY APPLN. INFO.: EP 2000-200693 20000229  
 WO 2001-EP2169 20010226  
 AB The present invention is concerned with combinations of two or more  
 farnesyl transferase inhibitors (Markush structures given) for inhibiting  
 the growth of tumor cells and useful in the treatment of cancer (no data).

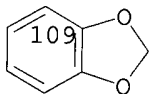
**MSTR 1**



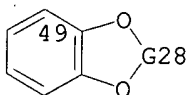
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 G5 = 1-2 6-5



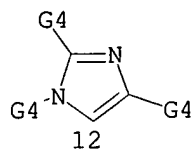
G7 = 109



G16 = 49



G19 = C  
 G28 = (1-2) CH2  
 G40 = 12



MPL: claim 1  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 NTE: substitution is restricted  
 STE: and stereochemically isomeric forms

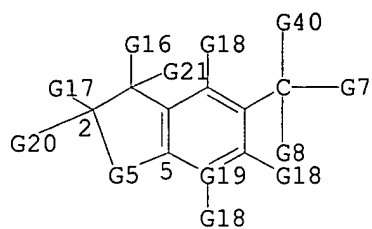
L5 ANSWER 14 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 135:221273 MARPAT  
 TITLE: Farnesyl protein transferase inhibitor combinations  
 with anti-tumor alkylating agents  
 INVENTOR(S): Rybak, Mary Ellen Margaret  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064217	A2	20010907	WO 2001-EP2168	20010226
WO 2001064217	A3	20020328		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1261348	A2	20021204	EP 2001-907564	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525244	T2	20030826	JP 2001-563114	20010226
US 2003078281	A1	20030424	US 2002-220220	20020828
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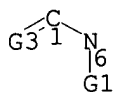
AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an anti-tumor alkylating agent (Markush structures given) for inhibiting the growth of tumor cells and useful in the treatment of cancer (no data).

MSTR 1

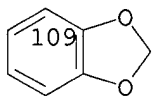
09/844,646



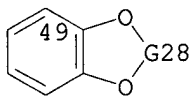
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G5 = 1-2 6-5



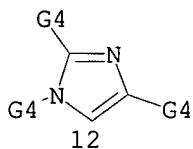
G7 = 109



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



MPL: claim 1  
NTE: and pharmaceutically acceptable acid or base addition salts  
NTE: substitution is restricted  
STE: and stereochemically isomeric forms

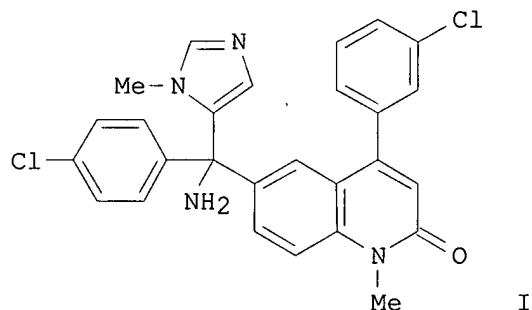
L5 ANSWER 15 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 135:221271 MARPAT  
TITLE: Farnesyl protein transferase inhibitor combinations  
with antitumor podophyllotoxin derivatives  
INVENTOR(S): Rybak, Mary Ellen Margaret  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 40 pp.  
CODEN: PIXXD2

09/844,646

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

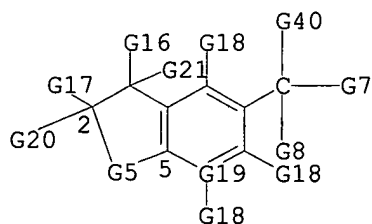
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WO 2001064198	A2	20010907	WO 2001-EP2167	20010226
WO 2001064198	A3	20020321		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1267871	A2	20030102	EP 2001-913838	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525238	T2	20030826	JP 2001-563095	20010226
US 2003050323	A1	20030313	US 2002-220216	20020828
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			WO 2001-EP2167	20010226

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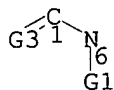
AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an antitumor podophyllotoxin deriv. for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and podophyllotoxin deriv. is etoposide.

#### MSTR 1

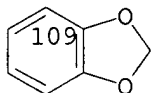


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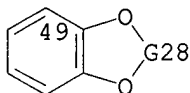
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G5 = 1-2 6-5



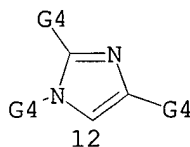
G7 = 109



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



MPL: claim 1  
NTE: and pharmaceutically acceptable acid or base addition salts  
NTE: substitution is restricted  
STE: and stereochemically isomeric forms

L5 ANSWER 16 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 135:221270 MARPAT  
TITLE: Farnesyl protein transferase inhibitor combinations  
with Vinca alkaloids  
INVENTOR(S): Horak, Ivan David; Bowden, Christopher J.  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 40 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English.  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

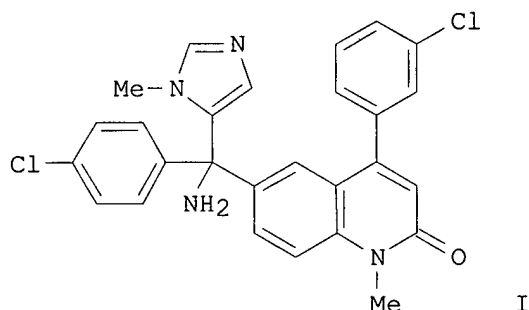
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064196	A2	20010907	WO 2001-EP2165	20010226
WO 2001064196	A3	20020321		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

09/844,646

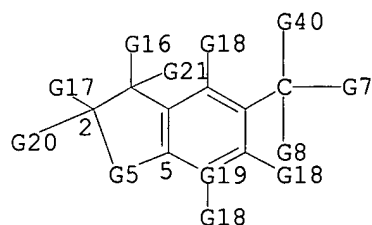
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
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LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
EP 1263437 A2 20021211 EP 2001-915297 20010226  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2003525236 T2 20030826 JP 2001-563093 20010226  
US 2003060480 A1 20030327 US 2002-220398 20020828  
PRIORITY APPLN. INFO.: EP 2000-200698 20000229  
WO 2001-EP2165 20010226

GI

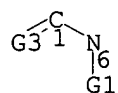


AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and a Vinca alkaloid for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and alkaloid is vinblastine.

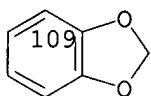
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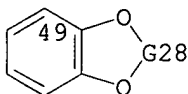
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G5 = 1-2 6-5



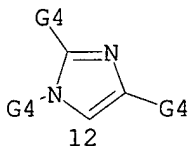
G7 = 109



G16 = 49



G19 = C  
 G28 = (1-2) CH2  
 G40 = 12



MPL: claim 1  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 NTE: substitution is restricted  
 STE: and stereochemically isomeric forms

L5 ANSWER 17 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 135:221269 MARPAT  
 TITLE: Farnesyl protein transferase inhibitor combinations  
 with antitumor nucleoside derivatives  
 INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

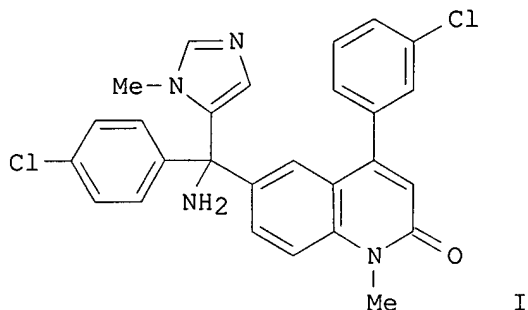
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064195	A2	20010907	WO 2001-EP2164	20010226
WO 2001064195	A3	20020321		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1261343	A2	20021204	EP 2001-929363	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

09/844,646

JP 2003525235 T2 20030826  
PRIORITY APPLN. INFO.:

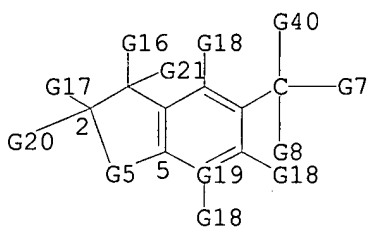
JP 2001-563092 20010226  
EP 2000-200697 20000229  
WO 2001-EP2164 20010226

GI

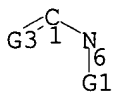


AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and an antitumor nucleoside deriv. for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and nucleoside deriv. is 5-fluorouracil.

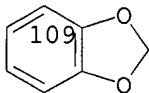
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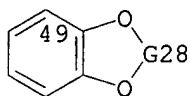


G7 = 109

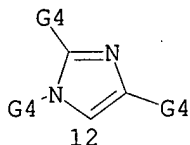


G16 = 49





G19 = C  
 G28 = (1-2) CH2  
 G40 = 12



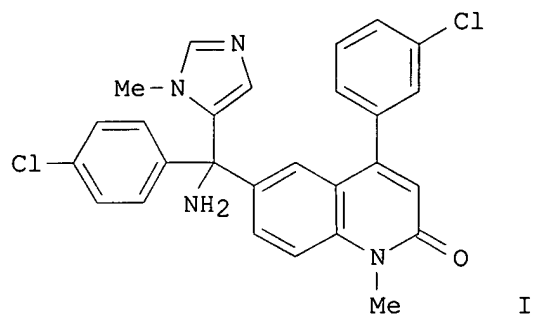
MPL: claim 1  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 NTE: substitution is restricted  
 STE: and stereochemically isomeric forms

L5 ANSWER 18 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 135:221268 MARPAT  
 TITLE: Farnesyl protein transferase inhibitor combinations  
 with camptothecin compounds  
 INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

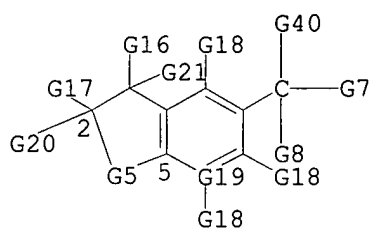
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064194	A2	20010907	WO 2001-EP2161	20010226
WO 2001064194	A3	20020307		
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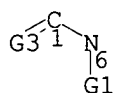


AB The present invention is concerned with combinations of a farnesyl transferase inhibitor and a camptothecin compd. for inhibiting the growth of tumor cells and useful in the treatment of cancer. An example farnesyl transferase inhibitor is I and example camptothecin compd. is topotecan.

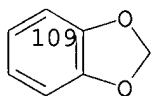
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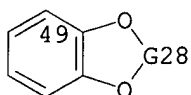
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G5 = 1-2 6-5



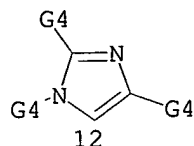
G7 = 109



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



MPL: claim 1  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 NTE: substitution is restricted  
 STE: and stereochemically isomeric forms

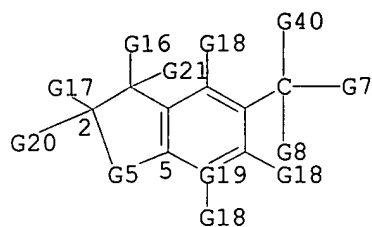
L5 ANSWER 19 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 135:216007 MARPAT  
 TITLE: Farnesyl protein transferase inhibitor combinations of  
 (imidazol-5-yl)methyl-2-quinolinones with anticancer  
 agents  
 INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

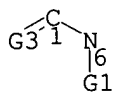
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064252	A2	20010907	WO 2001-EP2162	20010226
WO 2001064252	A3	20020321		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1261374	A2	20021204	EP 2001-917032	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525255	T2	20030826	JP 2001-563149	20010226
PRIORITY APPLN. INFO.: EP 2000-200694 20000229				
WO 2001-EP2162 20010226				
AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, e.g., (imidazol-5-yl)methyl-2-quinolinones, and 2 or more anticancer agents for inhibiting the growth of tumor cells and useful in the treatment of cancer. The anticancer agents can be selected from, e.g., taxanes, vinca alkaloids, podophyllotoxins.				

MSTR 1

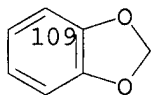
09/844,646



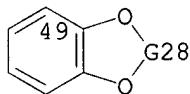
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G5 = 1-2 6-5



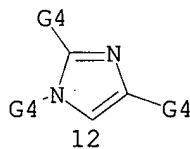
G7 = 109



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



MPL: claim 1  
NTE: and pharmaceutically acceptable acid or base addition salts  
NTE: substitution is restricted  
STE: and stereochemically isomeric forms

L5 ANSWER 20 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 135:216005 MARPAT  
TITLE: Farnesyl protein transferase inhibitor combinations  
with platinum compounds as anticancer agents  
INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 41 pp.  
CODEN: PIXXD2

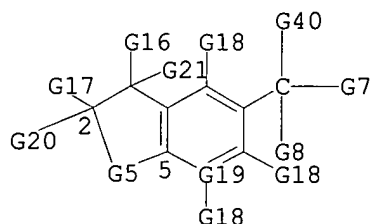
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DOCUMENT TYPE: Patent  
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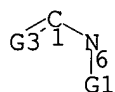
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WO 2001064226	A2	20010907	WO 2001-EP2160	20010226
WO 2001064226	A3	20020307		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1261356	A2	20021204	EP 2001-919347	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525246	T2	20030826	JP 2001-563123	20010226
US 2003027808	A1	20030206	US 2002-220397	20020828
PRIORITY APPLN. INFO.:			EP 2000-200690	20000229
			WO 2001-EP2160	20010226

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, e.g., (imidazol-5-yl)methyl-2-quinolinones, and a platinum compd. for inhibiting the growth of tumor cells and useful in the treatment of cancer.

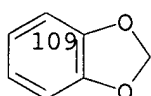
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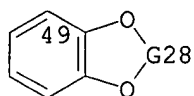
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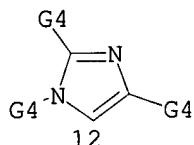
G7 = 109



G16 = 49



G19 = C  
 G28 = (1-2) CH2  
 G40 = 12



MPL: claim 1  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 NTE: substitution is restricted  
 STE: and stereochemically isomeric forms

L5 ANSWER 21 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 135:216000 MARPAT  
 TITLE: Farnesyl protein transferase inhibitor combinations of  
 (imidazol-5-yl)methyl-2-quinolinones with taxanes as  
 anticancer agents  
 INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

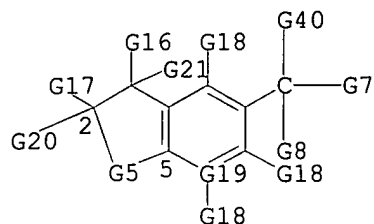
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064199	A2	20010907	WO 2001-EP2170	20010226
WO 2001064199	A3	20011227		
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AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, e.g., (imidazol-5-yl)methyl-2-quinolinones, and a taxane for inhibiting the growth of tumor cells and useful in the

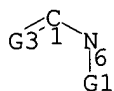
09/844,646

treatment of cancer. The farnesyl transferase inhibitor is advantageously administered at 0.0001-100 mg/kg and the taxane at 50-400 mg.

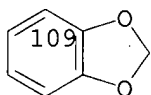
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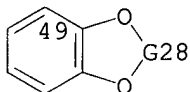
G3 = O  
G5 = 1-2 6-5



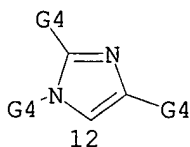
G7 = 109



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



MPL: claim 1  
NTE: and pharmaceutically acceptable acid or base addition salts  
NTE: substitution is restricted  
STE: and stereochemically isomeric forms

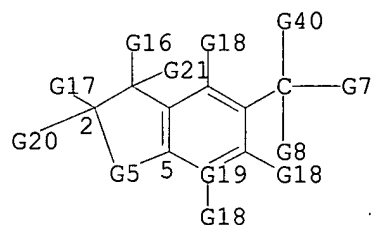
L5 ANSWER 22 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 135:215999 MARPAT  
TITLE: Farnesyl protein transferase inhibitor combinations

with antitumor anthracycline derivatives  
 INVENTOR(S): Rybak, Mary Ellen Margaret  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

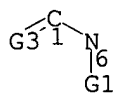
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064197	A2	20010907	WO 2001-EP2166	20010226
WO 2001064197	A3	20020321		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1267872	A2	20030102	EP 2001-917033	20010226
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JP 2003525237	T2	20030826	JP 2001-563094	20010226
US 2003125268	A1	20030703	US 2002-220222	20020828
PRIORITY APPLN. INFO.:			EP 2000-200696	20000229
			WO 2001-EP2166	20010226

AB The present invention is concerned with combinations of a farnesyl transferase inhibitor, (imidazol-5-yl)methyl-2-quinolinones, and an anthracycline deriv. for inhibiting the growth of tumor cells and useful in the treatment of cancer. The farnesyl transferase inhibitor is advantageously administered at 0.0001-100 mg/kg and the taxane at 10-75 mg.

# MSTR 1



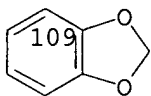
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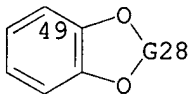
G7 = 109



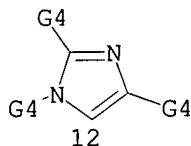
09/844,646



G16 = 49



G19 = C  
G28 = (1-2) CH2  
G40 = 12



MPL: claim 1  
NTE: and pharmaceutically acceptable acid or base addition salts  
NTE: substitution is restricted  
STE: and stereochemically isomeric forms

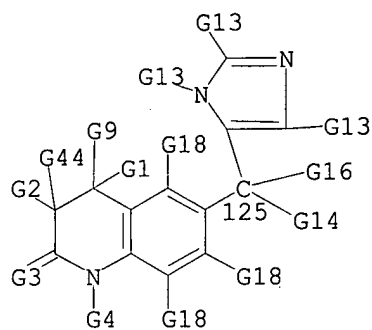
L5 ANSWER 23 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 135:205526 MARPAT  
TITLE: Treatment of mammalian tumors with farnesyl protein transferase inhibitors and dosing regimen  
INVENTOR(S): End, David William  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 56 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062234	A2	20010830	WO 2001-EP1937	20010220
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1267848	A1	20030102	EP 2001-903785	20010220
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003523381	T2	20030805	JP 2001-561301	20010220

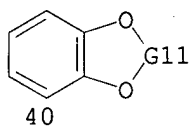
US 2003060450 A1 20030327 US 2002-220162 20020823  
 PRIORITY APPLN. INFO.: US 2000-184551P 20000224  
 WO 2001-EP1937 20010220

AB The present invention relates to a method of treating mammalian tumors which comprises administering a single dose of a farnesyl protein transferase (FPT) inhibitor over a one to five day period. The invention also relates to an antitumor dosage regimen in which suppression of tumor growth is achieved by the administration of an FPT inhibitor over a one to five day period followed by at least two weeks without treatment. The transient one to five day exposure of mammalian tumors to an FPT inhibitor produces sustained antitumor effects. The inhibition of FPT by a FPT inhibitor under the method and regimen of the present invention produces lasting alterations in the malignant process which recover only very slowly.

## MSTR 1



G3 = O  
 G9 = 40



G11 = (1-2) CH<sub>2</sub>  
 G14 = Ph (SO (-2) G15)  
 MPL: claim 7  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 STE: stereoisomers

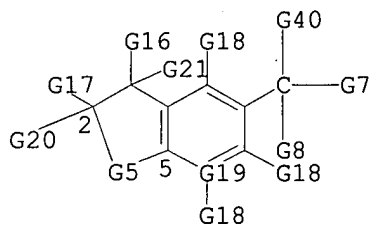
L5 ANSWER 24 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 135:147414 MARPAT  
 TITLE: Farnesyl protein transferase inhibitors for treating breast cancer  
 INVENTOR(S): Palmer, Peter Albert; Horak, Ivan David  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

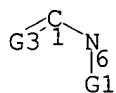
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056552	A2	20010809	WO 2001-EP1032	20010201
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1255537	A2	20021113	EP 2001-905717	20010201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003521509	T2	20030715	JP 2001-556244	20010201
US 2003027839	A1	20030206	US 2002-203083	20020802
PRIORITY APPLN. INFO.:			EP 2000-200373	20000204
			WO 2001-EP1032	20010201

AB The invention relates to the use of farnesyl protein transferase inhibitors for prepg. pharmaceutical compns. for treating advanced breast cancer.

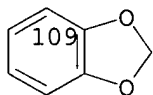
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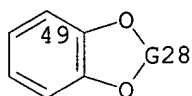
G3 = O  
G5 = 1-2 6-5



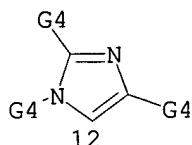
G7 = 109



G16 = 49



G19 = C  
 G28 = (1-2) CH2  
 G40 = 12



MPL: claim 2  
 NTE: and pharmaceutically acceptable acid or base addition salts  
 NTE: substitution is restricted  
 STE: and stereoisomeric forms

L5 ANSWER 25 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 133:74022 MARPAT  
 TITLE: Preparation of 1,2-annelated quinoline derivatives as farnesyl transferase and geranylgeranyl transferase inhibitors for use as antitumor agents.  
 INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Bourdrez, Xavier Marc  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039082	A2	20000706	WO 1999-EP10214	19991217
WO 2000039082	A3	20001026		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355717	AA	20000706	CA 1999-2355717	19991217
EP 1140935	A2	20011010	EP 1999-969220	19991217
EP 1140935	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916827	A	20011016	BR 1999-16827	19991217
JP 2002533435	T2	20021008	JP 2000-590995	19991217
EE 200100318	A	20021015	EE 2001-318	19991217

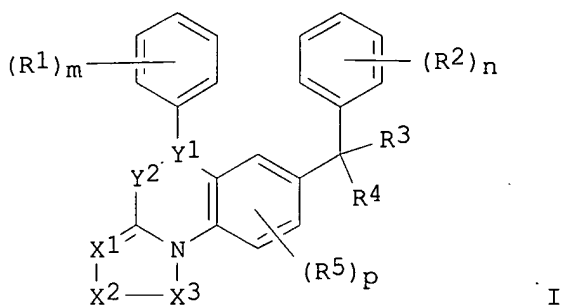
09/844,646

AT 240327	E	20030515	AT 1999-969220	19991217
HR 2001000454	A1	20020630	HR 2001-454	20010615
BG 105631	A	20020228	BG 2001-105631	20010620
NO 2001003088	A	20010621	NO 2001-3088	20010621
US 6458800	B1	20021001	US 2001-868992	20010829
US 2003119843	A1	20030626	US 2002-179444	20020624

PRIORITY APPLN. INFO.:

EP 1998-204444	19981223
WO 1999-EP10214	19991217
US 2001-868992	20010829

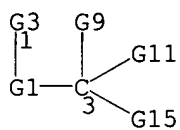
GI



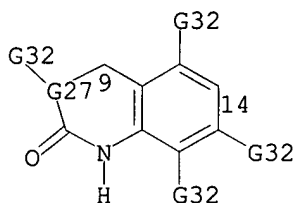
AB This invention concerns the prepn., compns. contg. and use as a medicine of compds. (I), the pharmaceutically acceptable acid addn. salts and the stereochem. isomeric forms thereof, having farnesyl transferase and geranylgeranyl transferase inhibiting activity, wherein =X1-X2-X3- is a trivalent radical; >Y1-Y2- is a trivalent radical; m and n are each independently 0, 1, 2, 3, 4 or 5; p is 0, 1, 2 or 3. Each R1 and R2 are independently hydroxy, halo, cyano, C1-6alkyl, trihalomethyl, trihalomethoxy, C2-6alkenyl, C1-6alkyloxy, hydroxyC1-6alkyloxy, C1-6alkylthio, C1-6alkyloxyC1-6alkyloxy, C1-6alkyloxycarbonyl, aminoC1-6alkyloxy, mono- or di(C1-6alkyl)amino, mono- or di(C1-6alkyl)aminoC1-6alkyloxy, aryl, arylC1-6alkyl, aryloxy or arylC1-6alkyloxy, hydroxycarbonyl, C1-6alkyloxycarbonyl; or two R1 or R2 on adjacent positions form together a bivalent radical. R3 is hydrogen, halo, C1-6alkyl, cyano, haloC1-6alkyl, hydroxyC1-6alkyl, cyanoC1-6alkyl, aminoC1-6alkyl, C1-6alkyloxyC1-6alkyl, C1-6alkylthio-C1-6alkyl, aminocarbonylC1-6alkyl, hydroxycarbonyl, hydroxycarbonylC1-6alkyl, C1-6alkyloxycarbonylC1-6alkyl, C1-6alkylcarbonylC1-6alkyl, C1-6alkyloxycarbonyl, aryl, arylC1-6alkyloxyC1-6alkyl, mono- or di(C1-6alkyl)aminoC1-6alkyl, or a radical of formula -O-R10, -S-R10 or -NR11R12, aryl is an optionally substituted Ph or naphthalenyl. R4 is an optionally substituted imidazolyl. Thus, (.+-.)-7-[(4-fluorophenyl)(1H-imidazol-1-yl)methyl]-5-phenylimidazo[1,2-a]quinoline ethanedioate (2:3) was prepd. in three steps from (.+-.)-6-[(4-fluorophenyl)(1H-imidazol-1-yl)methyl]-4-phenyl-2(1H)-quinoline in 99%, 83% and 30% yields for the three steps of the prepn.

MSTR 5

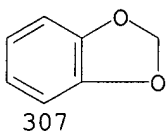
09/844,646



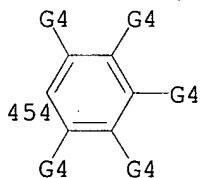
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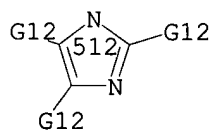
G3 = 307



G9 = 454



G11 = 512



G27 = CH

MPL: claim 9

NTE: also incorporates claims 12

L5 ANSWER 26 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 132:90156 MARPAT

TITLE: Farnesyl protein transferase inhibitors with in vivo radiosensitizing properties, and use in treating cancer

INVENTOR(S): Van Ginckel, Robert Franciscus; Floren, Wim Joanna; End, David William; Wouters, Walter Boudewijn Leopold

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

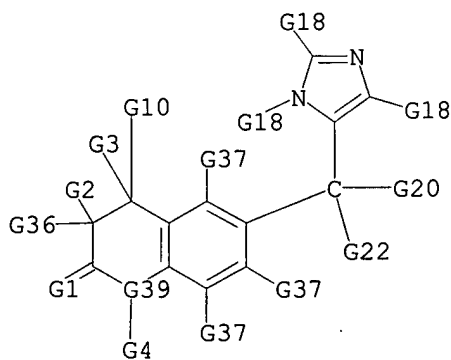
09/844,646

SOURCE: PCT Int. Appl., 42 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001411	A1	20000113	WO 1999-EP4545	19990630
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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CA 2336624	AA	20000113	CA 1999-2336624	19990630
AU 9947805	A1	20000124	AU 1999-47805	19990630
AU 762423	B2	20030626		
BR 9911861	A	20010320	BR 1999-11861	19990630
EP 1094839	A1	20010502	EP 1999-931229	19990630
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EE 200000794	A	20020617	EE 2000-794	19990630
JP 2002519389	T2	20020702	JP 2000-557857	19990630
AT 238811	E	20030515	AT 1999-931229	19990630
HR 2000000903	A1	20011231	HR 2000-903	20001228
BG 105108	A	20011130	BG 2001-105108	20010103
US 6545020	B1	20030408	US 2001-743130	20010103
NO 2001000082	A	20010105	NO 2001-82	20010105
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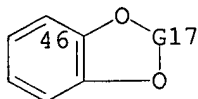
AB Farnesyl protein transferase inhibitors have radiosensitizing properties which makes them useful for prepg. a pharmaceutical compn. for administration before, during or after irradiation of a tumor for treating cancer in vivo .

#### MSTR 1



09/844,646

G1 = O  
G10 = 46



G17 = (1-2) CH2  
G20 = Ph (SO (1-2) G21)  
G39 = N  
DER: or pharmaceutically acceptable acid or base addition salts  
MPL: claim 2  
STE: or stereoisomers

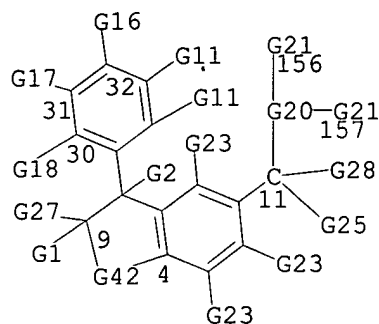
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 132:88171 MARPAT  
TITLE: Farnesyl protein transferase inhibitors for treating arthropathies  
INVENTOR(S): End, David William; Cools, Marina Lucie Louise; Van Wauwe, Jean Pierre Frans  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 46 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

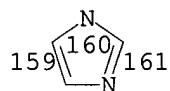
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001386	A1	20000113	WO 1999-EP4546	19990630
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AU 9947806	A1	20000124	AU 1999-47806	19990630
AU 762470	B2	20030626		
BR 9911869	A	20010327	BR 1999-11869	19990630
EP 1094815	A1	20010502	EP 1999-931230	19990630
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
EE 200000770	A	20020415	EE 2000-770	19990630
JP 2002519379	T2	20020702	JP 2000-557832	19990630
HR 2000000904	A1	20011231	HR 2000-904	20001228
BG 105110	A	20011130	BG 2001-105110	20010103
US 6451812	B1	20020917	US 2001-743077	20010103
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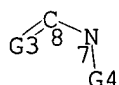
AB Farnesyl protein transferase inhibitors are useful for prepg. a pharmaceutical compn. for treating arthropathies, e.g. rheumatoid arthritis, osteoarthritis, juvenile arthritis, and gout.

**MSTR 1**

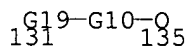
G3 = O  
 G10 = (1-2) CH2  
 G19 = O  
 G20 = 159-11 160-156 161-157



G25 = Ph (SO (1-2) G26)  
 G42 = 8-9 7-4



G16+G17= 131-32 135-31



DER: or pharmaceutically acceptable acid or base addition salts  
 MPL: claim 2  
 NTE: substitution is restricted  
 STE: and stereoisomeric forms

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 130:296955 MARPAT

TITLE: Preparation of erythromycin A, 11,12-carbamate derivatives as antibacterial agents

INVENTOR(S): Asaka, Toshifumi; Kashimura, Masato; Matsuura, Akiko; Sugimoto, Tomohiro; Tanikawa, Tetsuya; Ishii, Takaaki

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

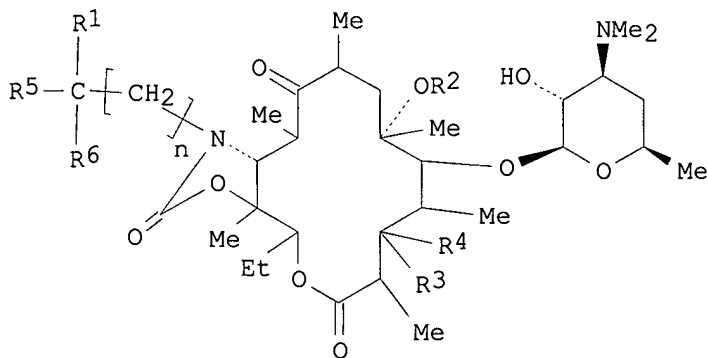
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921869	A1	19990506	WO 1998-JP4876	19981028
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9809835	A	19990505	ZA 1998-9835	19981028
AU 9896495	A1	19990517	AU 1998-96495	19981028
PRIORITY APPLN. INFO.:			JP 1997-296822	19971029
			WO 1998-JP4876	19981028

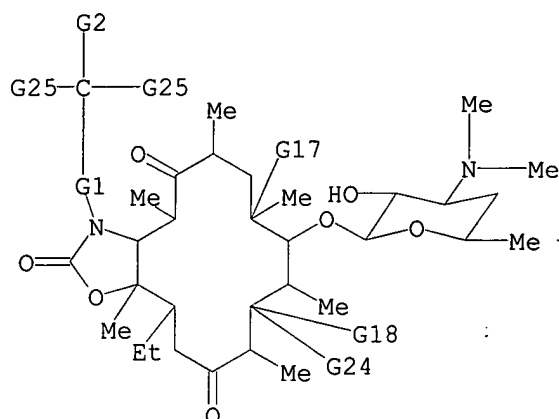
GI



I

AB Erythromycin A I wherein n is an integer of 1 to 7, R1 is sulfonamide, R2 is a hydrogen atom, an alkyl group or a cinnamyl group, R3 is ester, R4 is a hydrogen atom, or R3 and R4 together form an oxo group, and R5 and R6 are each a hydrogen atom or an alkyl group, or a pharmaceutically acceptable salt thereof has a strong antibacterial activity against not only known erythromycin-sensitive bacteria but also erythromycin-resistant bacteria. Thus, 11-[2-(4-Nitrophenyl)sulfonvlaminoethyl]-amino-11-deoxy-3-O-(2-pyridyl)acetyl-5-O-desosaminyl-6-O-methylerythronolide A 11,12-cyclic carbamate was prepd. and tested for its antibacterial activity (MICs = 0.025-1.56 .mu.g/mL).

MSTR 1B



G1 = (1-7) CH<sub>2</sub>  
 G2 = quinolinyl (SO (1-2) G15)  
 G15 = OH / pyridyl  
 G25 = cyclohexyl  
 DER: or pharmaceutically acceptable salts  
 MPL: claim 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 130:52420 MARPAT  
 TITLE: (Imidazol-5-yl)methyl-2-quinolinone derivatives as inhibitors of smooth muscle cell proliferation  
 INVENTOR(S): End, David William; Zelesko, Michael J.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855124	A1	19981210	WO 1998-EP3182	19980525
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9880207	A1	19981221	AU 1998-80207	19980525
AU 740603	B2	20011108		
EP 988038	A1	20000329	EP 1998-928332	19980525
EP 988038	B1	20020814		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9810423	A	20001003	BR 1998-10423	19980525
JP 2002503235	T2	20020129	JP 1999-501440	19980525
NZ 501401	A	20020328	NZ 1998-501401	19980525

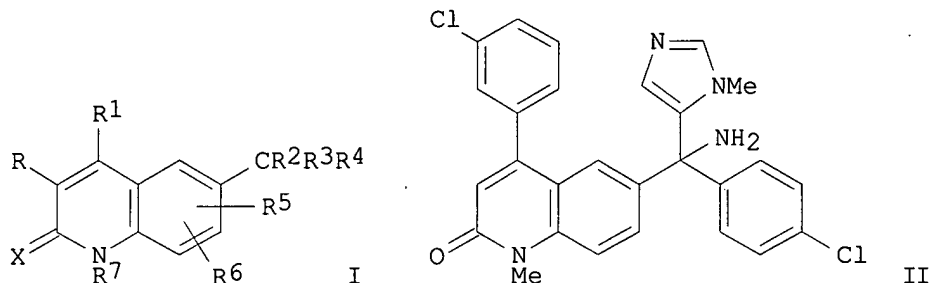
09/844,646

AT 222104	E	20020815	AT 1998-928332	19980525
ES 2182327	T3	20030301	ES 1998-928332	19980525
ZA 9804700	A	19991201	ZA 1998-4700	19980601
US 6365600	B1	20020402	US 1999-445009	19991130
NO 9905883	A	20000202	NO 1999-5883	19991201
US 2002091138	A1	20020711	US 2001-996147	20011128

PRIORITY APPLN. INFO.:

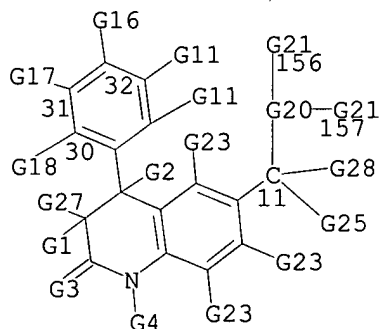
US 1997-47376P	19970602
WO 1998-EP3182	19980525
US 1999-445009	19991130

GI

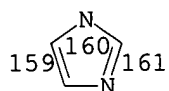


AB Title compds. I and their 3,4-dihydro derivs. [X = O, S; R = H, halogen, CN, alkyl, alkoxy, carbonyl, (un)substituted Ph; R1, R2 = (un)substituted Ph; R3 = (un)substituted 4-imidazolyl; R4 = H, (un)substituted alkyl, CN, (un)substituted CO2H, imidazolyl, (un)substituted OH, SH, NH2; R5 = H, alkyl, alkoxy, halogen; R6 = H, alkyl; R7 = H, alkyl, aryl, aralkyl, quinolinylalkyl] were prepd. for use in inhibiting smooth muscle cell proliferation, e.g., in atherosclerosis or restenosis. Thus, the title compd. II was prepd. from 1-(N,N-dimethylsulfamoyl)imidazole and the chlorobenzoylquinolinone in 5 steps. II had IC50 for inhibition of cell proliferation: A10 14, PASC 24, CASC 16 nM.

# MSTR 1



G3 = O  
 G10 = (1-2) CH2  
 G19 = O  
 G20 = 159-11 160-156 161-157



G25 = Ph (SO (1-2) G26)

G16+G17= 131-32 135-31

$$\begin{matrix} \text{G19-G10-O} \\ 131 \quad 135 \end{matrix}$$

DER: or pharmaceutically acceptable acid or base addition salts

MPL: claim 1

NTE: substitution is restricted

STE: and stereoisomeric forms

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 127:95280 MARPAT

TITLE: Preparation of farnesyl protein transferase-inhibiting (imidazol-5-yl)methyl-2-quinolinone anticancer agents

INVENTOR(S): Venet, Marc Gaston; Angibaud, Patrick Rene; Muller, Philippe; Sanz, Gerard Charles

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

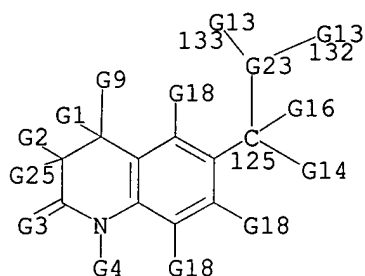
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

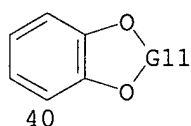
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721701	A1	19970619	WO 1996-EP4515	19961016
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, NO, NZ, PL, RO, SK, US, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
AU 9672948	A1	19970703	AU 1996-72948	19961016
AU 711142	B2	19991007		
EP 865440	A1	19980923	EP 1996-934727	19961016
EP 865440	B1	20020403		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 10511405	T2	19981104	JP 1996-521638	19961016
CN 1203598	A	19981230	CN 1996-198750	19961016
CN 1101392	B	20030212		
BR 9610745	A	19990713	BR 1996-10745	19961016
IL 123568	A1	20010808	IL 1996-123568	19961016
EE 3484	B1	20010815	EE 1998-146	19961016
EP 1162201	A2	20011212	EP 2001-202750	19961016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 3257559	B2	20020218	JP 1997-521638	19961016
AT 215541	E	20020415	AT 1996-934727	19961016
PL 184171	B1	20020930	PL 1996-325962	19961016



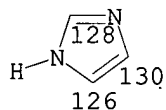
## MSTR 1



G3 = O  
G9 = 40



G11 = (1-2) CH2  
G14 = Ph (SO (-2) G15)  
G23 = 126-125 128-133 130-132



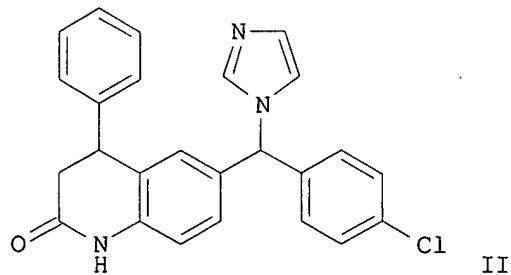
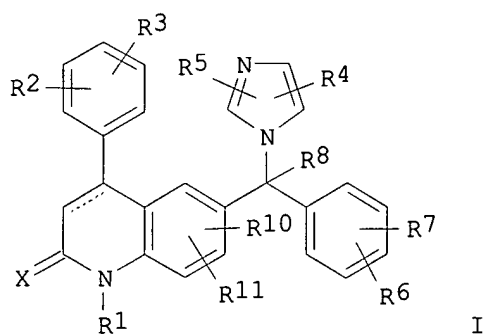
DER: and pharmaceutically acceptable acid or base addition salts  
MPL: claim 1  
NTE: also incorporates claim 14  
STE: and stereoisomers

L5 ANSWER 31 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 127:34143 MARPAT  
TITLE: Farnesyl transferase inhibiting 2-quinolone derivatives  
INVENTOR(S): End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz, Gerard Charles  
SOURCE: PCT Int. Appl., 50 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9716443 A1 19970509 WO 1996-EP4661 19961025  
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  
AU 9674933 A1 19970522 AU 1996-74933 19961025  
AU 712435 B2 19991104  
CN 1200732 A 19981202 CN 1996-197917 19961025  
CN 1101391 B 20030212  
JP 11514635 T2 19991214 JP 1996-517051 19961025  
EP 1019395 A1 20000719 EP 1996-937249 19961025  
EP 1019395 B1 20020130  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO  
EP 1106610 A1 20010613 EP 2001-200450 19961025  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO  
AT 212627 E 20020215 AT 1996-937249 19961025  
ES 2171736 T3 20020916 ES 1996-937249 19961025  
PL 184168 B1 20020930 PL 1996-328230 19961025  
SK 282642 B6 20021008 SK 1998-556 19961025  
CZ 290954 B6 20021113 CZ 1998-1272 19961025  
ZA 9609087 A 19980429 ZA 1996-9087 19961029  
NO 9800928 A 19980429 NO 1998-928 19980304  
US 5968952 A 19991019 US 1998-66441 19980429  
HK 1027576 A1 20020524 HK 2000-106863 20001027  
PRIORITY APPLN. INFO.: EP 1995-202945 19951031  
EP 1996-937249 19961025  
WO 1996-EP4661 19961025

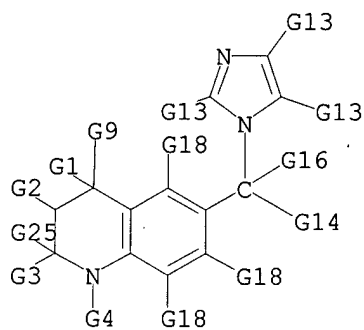
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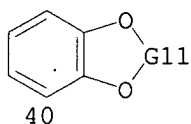


AB The invention concerns compds. I and their stereoisomers and pharmaceutically acceptable acid or base addn. salts [wherein dotted line = optional pi bond; X = O, S; R1-R11 = H, variety of substituents; adjacent R2R3 may form a bivalent radical]. I are inhibitors of farnesyl protein transferase (FPT), and are thus useful as inhibitors of tumors, other malignant and benign proliferative diseases, and angiogenesis. For instance, 3,4-dihydro-4-phenyl-2(1H)-quinolinone was acylated by 4-ClC6H4CO2H and polyphosphoric acid. The resulting ketone was reduced to an alc. with NaBH4, and the alc. was treated with NaH and 1,1'-carbonylbis-1H-imidazole to give title compd. II. Selected I had IC50 values of 0.0034-3.2 .mu.M for inhibition of FPT in vitro. In a ras-transformed cell phenotype reversion assay, selected I had IC50 values as low as 53 nM.

## MSTR 1



G3 = alkoxy  
G9 = 40



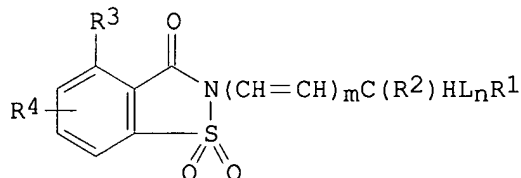
G11 = (1-2) CH2  
G14 = Ph (SO (-2) G15)  
DER: and pharmaceutically acceptable acid or base addition salts  
MPL: claim 1  
NTE: also incorporates claim 12, structure XXVI  
STE: stereoisomers

L5 ANSWER 32 OF 33 MARPAT COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 120:191707 MARPAT  
TITLE: 2-Substituted saccharin derivative proteolytic enzyme inhibitors  
INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph; Latimer, Lee Hamilton  
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA  
SOURCE: Eur. Pat. Appl., 77 pp.

CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

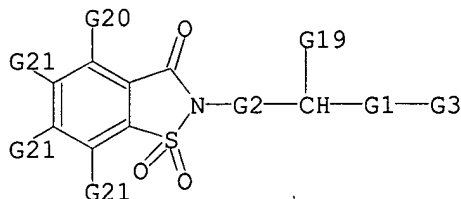
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 542372	A1	19930519	EP 1992-203469	19921112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5236917	A	19930817	US 1991-793033	19911115
AU 9225340	A1	19930520	AU 1992-25340	19920925
AU 654581	B2	19941110		
CA 2079822	AA	19930516	CA 1992-2079822	19921005
NO 9204401	A	19930518	NO 1992-4401	19921113
HU 66873	A2	19950130	HU 1992-3566	19921113
IL 103748	A1	19970218	IL 1992-103748	19921113
RU 2101281	C1	19980110	RU 1992-4381	19921113
JP 05194444	A2	19930803	JP 1992-305295	19921116
US 5371074	A	19941206	US 1993-67637	19930524
US 5650422	A	19970722	US 1994-270964	19940705
US 5596012	A	19970121	US 1995-449152	19950524
US 5874432	A	19990223	US 1997-803297	19970220
PRIORITY APPLN. INFO.:			US 1991-793033	19911115
			US 1989-347125	19890504
			US 1989-347126	19890504
			US 1990-514920	19900426
			US 1993-67637	19930524
			US 1994-270964	19940705

GI

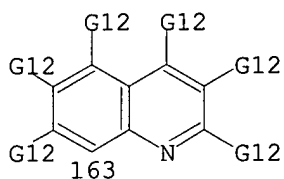


I

AB The title compds. I [L = O, S, SO, SO<sub>2</sub>; R<sub>1</sub> = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R<sub>2</sub> = H, lower alkoxy carbonyl, Ph, PhS; R<sub>3</sub> = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxy carbonyl, CN, etc.; R<sub>4</sub> = H or 1-3 substituents selected from halogen, CN, NO<sub>2</sub>, NH<sub>2</sub>, etc.; m, n = 0, 1; when m = 0 then R<sub>1</sub> can only be heterocyclyl and CHR<sub>2</sub> can only be bonded to a ring N of R<sub>1</sub>; when m = 0, n = 1 and L is O, S, or SO, then R<sub>2</sub>-R<sub>4</sub> = H; when m = 0, n = 1, L is S, R<sub>2</sub>, R<sub>4</sub> = H and R<sub>3</sub> = halogen; when m = 0, n = 1, and L is SO or SO<sub>2</sub> then R<sub>2</sub> is lower alkoxy carbonyl and R<sub>3</sub> = R<sub>4</sub> = H while R<sub>1</sub> .noteq. substituted Ph], useful for the treatment of degenerative diseases (no data), are prepd. Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition const. for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for .alpha.-chymotrypsin.

**MSTR 1A**

G3 = 163

G12 = alkyl<(1-10)> (SR piperidino) / furyl /  
alkoxy<(1-10)>

MPL: claim 1

NTE: substitution is restricted

L5 ANSWER 33 OF 33 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 119:138890 MARPAT

TITLE: Preparation of diethylenetriamine derivatives and  
their use for diagnostic and therapeutic purposes

INVENTOR(S): Mikhail, Gamal

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 540975	A1	19930512	EP 1992-118289	19921026
EP 540975	B1	19960110		
R: CH, DE, FR, GB, LI, SE				
DE 4136489	A1	19930513	DE 1991-4136489	19911106
CA 2082023	AA	19930507	CA 1992-2082023	19921103
JP 05221942	A2	19930831	JP 1992-317924	19921104
			DE 1991-4136489	19911106

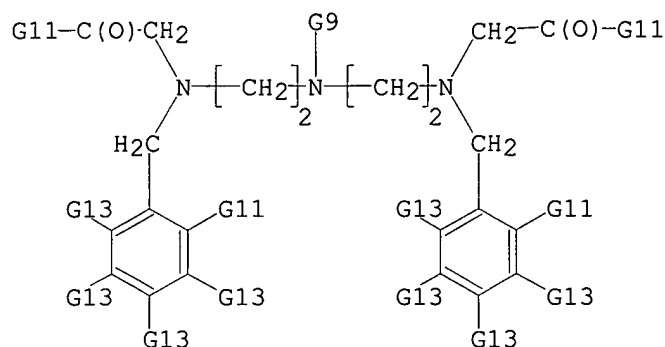
PRIORITY APPLN. INFO.:

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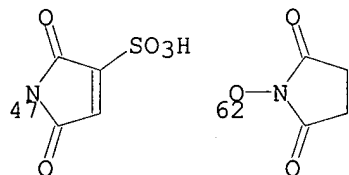
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; X = (heteroatom group-contg.) arylene, alkylene; Y =  
NHCOCMe:CH<sub>2</sub>, Q1, Q2, Q3, NH<sub>2</sub>, OH, halomethylcarbonyl, halo, NCO, NCS, CHO,  
CO<sub>2</sub>H, SH, halocarbonyl, N<sub>3</sub>CO, imidazolylcarbonyl, etc.; X1, X2 = H,

(substituted) alkyl, aryl; R = H, ammonium, alkali metal, alk. earth metal; R1 = alkyl, Cl, Br; n = 1-4], were prepd. Thus, phthalic anhydride was heated with diethylenetriamine in CHCl<sub>3</sub> to give 61% 1,7-diphthaloyldiethylenetriamine. This was refluxed with KOH and 4-O<sub>2</sub>NC<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>Br to give 80% 4-(p-nitrobenzyl)-1,7-diphthaloyldiethylenetriamine. This was refluxed with 6N HCl to give 67% 4-(p-nitrobenzyl)diethylenetriamine, which was stirred with salicylaldehyde in EtOH to give 58% bis-Schiff base, which was converted to title compd. II in several steps. II showed a stability complex with Eu of infinity (no free Eu detectable).

**MSTR 1C**

G5 = oxiranyl / 47 / 62



G10 = quinolinyl (SR (1) G5)

G16 = 120

G17-C<sub>120</sub>-G18

G17 = Ph

G18 = alkyl<(1-10)> (SO (1-) G5)

MPL: claim 1

=> d his

(FILE 'HOME' ENTERED AT 15:49:46 ON 10 SEP 2003)

FILE 'REGISTRY' ENTERED AT 15:49:50 ON 10 SEP 2003

L1 STRUCTURE UPLOADED

L2 0 S L1 SAM

L3 26 S L1 FULL

09/844,646

FILE 'CA' ENTERED AT 15:50:14 ON 10 SEP 2003  
L4 4 S L3

FILE 'MARPAT' ENTERED AT 15:50:28 ON 10 SEP 2003  
L5 33 S L1 FULL

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:51:38 ON 10 SEP 2003